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* * * * * * * * * *
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                 Web Page for STN Seminar Schedule - N. America
NEWS
     2 NOV 21
NEWS
                 CAS patent coverage to include exemplified prophetic
                 substances identified in English-, French-, German-,
                 and Japanese-language basic patents from 2004-present
NEWS
         NOV 26 MARPAT enhanced with FSORT command
NEWS
         NOV 26
                 CHEMSAFE now available on STN Easy
NEWS 5
         NOV 26
                 Two new SET commands increase convenience of STN
                 searching
NEWS
         DEC 01
                 ChemPort single article sales feature unavailable
                 GBFULL now offers single source for full-text
NEWS
         DEC 12
                 coverage of complete UK patent families
NEWS
     8
         DEC 17
                 Fifty-one pharmaceutical ingredients added to PS
NEWS 9
         JAN 06
                 The retention policy for unread STNmail messages
                 will change in 2009 for STN-Columbus and STN-Tokyo
                 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent
NEWS 10
         JAN 07
                 Classification Data
                 Simultaneous left and right truncation (SLART) added
NEWS 11 FEB 02
                 for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE
NEWS 14 FEB 10 COMPENDEX reloaded and enhanced
NEWS 15 FEB 11 WTEXTILES reloaded and enhanced
NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
             AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.
NEWS HOURS
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FILE 'REGISTRY' ENTERED AT 09:07:50 ON 12 FEB 2009
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STRUCTURE FILE UPDATES: 11 FEB 2009 HIGHEST RN 1104680-36-5 DICTIONARY FILE UPDATES: 11 FEB 2009 HIGHEST RN 1104680-36-5

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http://www.cas.org/support/stngen/stndoc/properties.html

=>

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chain nodes :
10 18 19 22
ring nodes :
1 2 3 4 5 6 7 8 9 11 12 13 14 15 16
chain bonds :
8-18 9-10 10-11 18-19 18-22
ring bonds :
1-2 1-6 2-3 2-7 3-4 3-9 4-5 5-6 7-8 8-9

exact/norm bonds :

2-7 3-9 7-8 8-9 8-18 9-10 18-19 18-22

exact bonds :

10-11

normalized bonds :

 $1-2 \quad 1-6 \quad 2-3 \quad 3-4 \quad 4-5 \quad 5-6 \quad 11-12 \quad 11-16 \quad 12-13 \quad 13-14 \quad 14-15 \quad 15-16$ 

isolated ring systems:

containing 1 : 11 :

G1:Ak,H

G2:Cb, Ak

Match level :

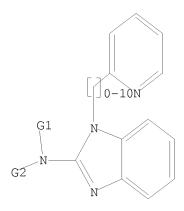
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:CLASS 11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 18:CLASS 19:CLASS

## L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



G1 Ak,H

G2 Cb, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 09:08:10 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 173 TO ITERATE

100.0% PROCESSED 173 ITERATIONS 30 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 2671 TO 4249 PROJECTED ANSWERS: 272 TO 928

L2 30 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 09:08:15 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 3704 TO ITERATE

100.0% PROCESSED 3704 ITERATIONS

470 ANSWERS

SEARCH TIME: 00.00.01

L3 470 SEA SSS FUL L1

=> d scan

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 3-Pyridinol, 2-[[5,7-dimethyl-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-

MF C24 H34 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):40

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 3-Pyridinol, 6-methyl-2-[[2-(3-methylphenyl)ethyl]amino]-1H-

benzimidazol-1-yl]methyl]-

MF C23 H24 N4 O

$$\begin{array}{c|c} & \text{Me} \\ \hline & \text{NH}-\text{CH}_2-\text{CH}_2 \\ \hline & \text{N---}\text{CH}_2 \\ \hline & \text{Me} \\ \hline & \text{OH} \\ \end{array}$$

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Acetamide, N-[6-formyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-

1H-benzimidazol-2-yl]-C24 H22 N4 O3

MF

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[2-(4-morpholinyl)ethyl]amino]-

MF C20 H25 N5 O3

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Benzimidazol-2-amine, 6-(chloromethyl)-1-[(3-methoxy-6-methyl-2pyridinyl)methyl]-N-[3-(4-morpholinyl)propyl]-

MF C23 H30 C1 N5 O2

CI COM

IN Carbamic acid, [2-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI)

MF C37 H51 N7 O4

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2[[3-(tetrahydro-1,4-oxazepin-4(5H)-yl)propyl]amino]-

MF C23 H31 N5 O3

$$\begin{array}{c|c} \operatorname{HO-CH_2} & \operatorname{N} & \operatorname{NH-(CH_2)_3-N} & \operatorname{O} \\ & \operatorname{N-CH_2} & \operatorname{OH} \end{array}$$

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-

MF C21 H27 N5 O3

$$\begin{array}{c|c} R & CH_2 & N \\ & HO \end{array}$$

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 1H-Benzimidazole-6-methanamine, N-(2,5-dimethylphenyl)-1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-
- MF C30 H38 N6 O

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 1H-Benzimidazole-6-methanamine, 1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]- N-[2-[2-(4-morpholinyl)ethyl]phenyl]-2-[[3-(4-morpholinyl)propyl]amino]-
- MF C35 H47 N7 O3

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-thiomorpholinyl)propyl]amino]-
- MF C22 H29 N5 O2 S

$$\begin{array}{c|c} N & \text{NH- (CH}_2)_3 & N \\ \hline N & \text{CH}_2 \\ \hline Me & OH \\ \end{array}$$

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 3-Pyridinol, 2-[[6-[(2,3-dihydro-6-methyl-1H-indol-1-yl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-
- MF C31 H38 N6 O2

Me 
$$NH-(CH_2)_3-N$$
 $NH-(CH_2)_3-N$ 
 $NH-(CH_2)_3-N$ 
 $NH-(CH_2)_3-N$ 
 $NH-(CH_2)_3-N$ 
 $NH-(CH_2)_3-N$ 

IN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-

MF C28 H36 N8 O3

Me N OH 
$$CH_2-CH_2-OH$$
  $N$  NH-  $(CH_2)_3-N$ 

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Propanamide, 3-[(3-ethynylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-

MF C33 H39 N7 O3

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Butanamide, 4-[(4-cyanophenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-

MF C33 H40 N8 O3

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN Butanamide, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-N-methyl-
- MF C35 H47 N7 O3

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxyethyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-
- MF C32 H42 N6 O3

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 3-Pyridinol, 2-[[6-[[[2-[(2-hydroxyethyl)amino]-5methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl-
- MF C31 H41 N7 O3

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(1-piperidinyl)propyl]amino]-

MF C23 H29 N5 O2

$$\begin{array}{c|c} N & \text{NH- (CH_2)_3-N} \\ \hline \text{OHC} & N & \text{CH_2} \\ \hline \text{Me} & OH \\ \end{array}$$

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 3-Pyridinol, 2-[[5-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(1-piperidinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-

MF C31 H40 N6 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 3-Pyridinol, 2-[[2-[(3-hydroxypropyl)amino]-1H-benzimidazol-1-yl]methyl]-6methyl-

MF C17 H20 N4 O2

IN 3-Pyridinol, 2-[[2-[[4-(2-aminoethyl)phenyl]amino]-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl-

MF C24 H27 N5 O

CI COM

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{N} \\ \text{N} \\ \text{CH}_2 \\ \text{HO} \end{array} \qquad \begin{array}{c} \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{N} \\$$

#### \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 4-fluoro-N-[1-[2-(2-pyridinyl)ethyl]-5-(trifluoromethyl)-1H-benzimidazol-2-yl]-

MF C22 H16 F4 N4 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN INDEX NAME NOT YET ASSIGNED

MF C33 H32 N6 O3

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 3-Pyridinol, 2-[[2-(butylamino)-6-[[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl-
- MF C27 H33 N5 O

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

- L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN
- IN 3-Pyridinol, 2-[[2-(butylamino)-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl-
- MF C20 H26 N4 O

IN Acetamide, N-[6-[(2,5-dimethylphenyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]-

MF C25 H27 N5 O2

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-5-yl]methyl]-2-oxo-, inner salt

MF C30 H34 N8 O3

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 3-Pyridinol, 2-[[6-(chloromethyl)-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-

MF C22 H28 C1 N5 O2

CI COM

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Benzimidazole-6-methanol, 1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-

morphoring / propyrjami

MF C21 H26 Br N5 O2

## \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Benzimidazole-5-methanol, 2-[[3-[(2R,6S)-2,6-dimethyl-4 morpholinyl]propyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-,
 rel-

MF C24 H33 N5 O3

Relative stereochemistry.

HO 
$$\frac{1}{N}$$
  $\frac{1}{N}$   $\frac$ 

IN Benzenepropanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-5-yl]methyl]amino]-4-methyl-

MF C33 H44 N6 O3

HO— (CH<sub>2</sub>)<sub>3</sub>

$$NH-CH2$$

$$NH-CH2$$

$$NH-CH2$$

$$N-CH2$$

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzamide, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-

MF C30 H37 N7 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN Benzeneethanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-

MF C31 H40 N6 O3

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 1H-Benzimidazole-6-methanol, 2-[[3-[(2R,6R)-2,6-dimethyl-4morpholinyl]propyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-,
rel-

MF C24 H33 N5 O3

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{Me} \\ \end{array}$$

# \*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

MF C33 H45 N7 O4 S .  $\times$  Cl H

$$H_2N-S-(CH_2)_3-NH$$
 $O$ 
 $CH_2$ 
 $N$ 
 $NH-(CH_2)_3-NH$ 
 $Me$ 
 $NH-(CH_2)_3-NH$ 
 $Me$ 

IN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)[2-methyl-5-(1-methylethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-

MF C34 H46 N6 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)(2-methylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-

MF C31 H40 N6 O3

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

MF C33 H45 N7 O4 S

L3 470 ANSWERS REGISTRY COPYRIGHT 2009 ACS on STN

IN  $\beta$ -Alanine, N-(3,5-dimethylphenyl)-N-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-, ethyl ester

MF C35 H46 N6 O4

\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> fil cap
COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 186.84 187.06

FULL ESTIMATED COST

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FILE COVERS 1907 - 12 Feb 2009 VOL 150 ISS 7 FILE LAST UPDATED: 11 Feb 2009 (20090211/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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http://www.cas.org/legal/infopolicy.html

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=> d his

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FILE 'REGISTRY' ENTERED AT 09:07:50 ON 12 FEB 2009

STRUCTURE UPLOADED L1

30 S L1 L2.

L3 470 S L1 SSS FULL

FILE 'CAPLUS' ENTERED AT 09:09:36 ON 12 FEB 2009

=> s 13

16 L3 L4

=> s 13 and (pry<2004)

16 L3

4266527 PRY<2004

L5 7 L3 AND (PRY<2004)

=> s 13

L6 16 L3

=> d 1-16 ibib abs hitstr

ANSWER 1 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

2008:1508519 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 150:56153

TITLE: Benzimidazole derivatives and related compounds for

> inhibiting KSP kinesin activity and their preparation and use in the treatment of KSP-associated diseases Shipps, Gerald W., Jr.; Ma, Yao; Lahue, Brian Robert; Seghezzi, Wolfgang; Herbst, Ronald; Chuang, Cheng-Chi;

INVENTOR(S):

Annis, D. Allen; Kirtley, Matthew

Schering Corporation, USA

PATENT ASSIGNEE(S): SOURCE: PCT Int. Appl., 319pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

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_____
                                           _____
                                          WO 2008-US6472
    WO 2008153701
                         A 1
                               20081218
                                                                  20080521
        W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ,
            CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES,
            FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,
            KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
            ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
            PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
             TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
            IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
             TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
             TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
            AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
PRIORITY APPLN. INFO.:
                                           US 2007-939963P
                                                             P 20070524
OTHER SOURCE(S):
                        MARPAT 150:56153
GΙ
```

R 
$$R_2$$
  $R_3$   $R_4$   $R_4$   $R_4$   $R_4$   $R_5$   $R_6$   $R_6$   $R_6$   $R_7$   $R_8$   $R_8$   $R_9$   $R_$ 

The invention provides compds. of formula I as KSP kinesin inhibitors. The invention also provides compns. comprising these compds. that are useful for treating cellular proliferative diseases or disorders associated with KSP kinesin activity and for inhibiting KSP kinesin activity. Compds. of formula I wherein R is H, (halo)alkyl, CN, halo, SH and derivs., etc.; R1 is alkyl, heterocyclyl, aroyl, NH2 and derivs., etc.; R2 and R3 are independently H, alkyl and (un)substituted methylene; R4 is alkyl, (un)substituted cycloalkyl, (un)substituted heterocyclyl, and (un)substituted (hetero)aryl; and pharmaceutically acceptable salts, solvates and esters thereof, are claimed. Example compound II was prepared by a general solid phase procedure (procedure given). All the invention compds. were evaluated for their KSP kinesin inhibitory activity (some data given).

IT 1092830-87-9P 1092830-97-1P 1092831-10-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

RN

(drug candidate; preparation of benzimidazole derivs. and related compds. as KSP inhibitors useful in treatment of KSP-associated diseases) 1092830-87-9 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-[[4-(methylsulfonyl)phenyl]amino]-1-(2-pyridinylmethyl)- (CA INDEX NAME)

RN 1092830-97-1 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-[[4-(aminosulfonyl)phenyl]amino]-1-(2-pyridinylmethyl)- (CA INDEX NAME)

$$\begin{array}{c|c} O & O & O \\ S - NH_2 & O \\ N - CH_2 & O \\ \end{array}$$

RN 1092831-10-1 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 2-[(4-methoxyphenyl)amino]-1-[[3-(trifluoromethyl)-2-pyridinyl]methyl]- (CA INDEX NAME)

$$H_2N-C$$
 $N$ 
 $R$ 
 $F_3C$ 

REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 2 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:159875 CAPLUS

DOCUMENT NUMBER: 148:299037

TITLE: Selection of a Respiratory Syncytial Virus Fusion Inhibitor Clinical Candidate. 2. Discovery of a

Morpholinopropylaminobenzimidazole Derivative

(TMC353121)

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AB A preceding paper reported the optimization of the pharmacokinetic profile of substituted benzimidazoles by reducing their tissue retention. However, the modifications that were necessary to achieve this goal also led to a significant drop in anti-RSV activity. This paper describes a mol. modeling study followed by a lead optimization program that led to the recovery of the initial potent antiviral activity and the selection of TMC353121 as a clin. candidate.

IT 857066-90-1P, 2-[[6-[[[2-(3-Hydroxypropyl)-5-methylphenyl]amino]methyl]-2-[[3-(morpholin-4-yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-3-ol

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of substituted benzimidazole derivs. as respiratory syncytial virus fusion inhibitors)

RN 857066-90-1 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(3-hydroxypropyl)-5-methylphenyl]amino]methyl]-2[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl(CA INDEX NAME)

IT 857035-10-0P, 2-[[6-[(3,5-Dimethylphenylamino)methyl]-2-[[3-(4-methylpiperazin-1-yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-3-ol 857035-11-1P,

3-[[6-[(3,5-Dimethylphenylamino)methyl]-1-[(3-hydroxy-6-methylpyridin-2-yl)methyl]-1H-benzimidazol-2-yl]amino]propane-1,2-diol

857035-20-2P, 2-[[2-[[(2,2-Dimethyl-[1,3]dioxolan-4-

yl)methyl]amino]-6-[(3,5-dimethylphenylamino)methyl]benzimidazol-1-

vl]methyl]-6-methylpyridin-3-ol 857035-23-5P,

2-[[6-[(3,5-Dimethylphenylamino)methyl]-2-phenethylaminobenzimidazol-1-

yl]methyl]-6-methylpyridin-3-ol 857066-95-6P,

2-[[6-[[[2-(3-Hydroxypropyl)phenyl]amino]methyl]-2-[[3-(morpholin-4-

yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-3-ol

857067-08-4P, 2-[[6-[[[2-(2-Hydroxyethyl)phenyl]amino]methyl]-2-

[[3-(morpholin-4-yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-

3-o1 857067-09-5P, 2-[[6-[(3,5-Dimethylphenylamino)methyl]-2-[[3-

(morpholin-4-yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-3-

ol 857067-14-2P, 6-Methyl-2-[[2-[[3-(morpholin-4-

 $\verb|yl)propyl]amino]-6-[(m-tolylamino)methyl]benzimidazol-1-yl]methyl]pyridin-1-yl]methyl]methyl]pyridin-1-yl]methylpyridin-1-yl]methylpyridin-1-yl]methylpyridin-1-yl]methylpyridin-1-yl]methylpyridin-1-yl]methylpyridin-1-yl]methylpyridin-1-yl]methylpyridin-1-yl]methylpyridin-1-yl]methylpyridin-1-yl]methylpyridin-1-yl]methylpyridin-1-yl]methylpyridin-1-yl]methylpyridin-1-yl]methylpyridin-1-yl[methylpyridin-1-yl]methylpyridin-1-yl[methylpyridin-1-yl[methylpyridin-1-yl[methylpyridin-1-yl[methylpyridin-1-yl[methylpyridin-1-yl[methylpyridin-1-yl[methylpyridin-1-yl[methylpyridin-1-yl[methylpyridin-1-yl[methylpyridin-1-yl[met$ 

3-ol 857067-44-8P, 2-[[6-[[(3,5-Dimethylphenyl)(2-hydroxyethyl)amino]methyl]-2-[[3-(morpholin-4-yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-3-ol 857067-45-9P
857067-72-2P, 2-[[6-[[(2-Hydroxyethyl)-m-tolylamino]methyl]-2-[[3-(morpholin-4-yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-3-ol 857067-83-5P, 2-[[6-[[(2-Hydroxyethyl)(phenyl)amino]methyl]-2-[[3-(morpholin-4-yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-3-ol 1009646-66-5P, 2-[[2-[Butylamino]-6-[(3,5-dimethylphenylamino)methyl]benzimidazol-1-yl]methyl]-6-methylpyridin-3-ol RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(preparation of substituted benzimidazole derivs. as respiratory syncytial virus fusion inhibitors)

RN 857035-10-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Me NH- 
$$CH_2$$
 NH-  $CH_2$  N  $CH_2$  N  $Me$  Me OH

RN 857035-11-1 CAPLUS

CN 1,2-Propanediol, 3-[[6-[[(3,5-dimethylphenyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

RN 857035-20-2 CAPLUS

CN 3-Pyridinol, 2-[[2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-6-[[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857035-23-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[(2-phenylethyl)amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857066-95-6 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(3-hydroxypropyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-08-4 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-09-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-14-2 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[6-[[(3-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methylphenylamino[amino]methylmino[amino]methylmino[amino]methylmino[amino]methylmino[amino]methylmino[amino]methylmino[amino]methylmino[amino]methylmino[amino]methylmino[amino]methylmino[amino]methylmimorpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN

857067-44-8 CAPLUS 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxyethyl)amino]methyl]-2-CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

857067-45-9 CAPLUS RN

CN Butanamide, 4-[(3,5-dimethylphenyl)][[1-[(3-hydroxy-6-methyl-2pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

RN 857067-72-2 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)(3-methylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-83-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)phenylamino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 1009646-66-5 CAPLUS

CN 3-Pyridinol, 2-[[2-(butylamino)-6-[[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

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ΙT
                  857035-14-4P, 2-[[6-Hydroxymethyl-2-[[3-(4-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-m
                  yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-3-ol
                  857035-19-9P, 2-[[5-Hydroxymethyl-2-[[3-(4-methylpiperazin-1-
                  yl)propyl]amino]benzimidazol-1-yl]methyl]-6-methylpyridin-3-ol
                  857035-24-6P, 2-[(6-Hydroxymethyl-2-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phenethylaminobenzimidazol-1-phene
                  yl)methyl]-6-methylpyridin-3-ol 857067-38-0P,
                  2-[[6-Hydroxymethyl-2-[[3-(morpholin-4-yl)propyl]amino]benzimidazol-1-
                  yl]methyl]-6-methylpyridin-3-ol 857067-39-1P,
                  2-[[5-Hydroxymethyl-2-[[3-(morpholin-4-yl)propyl]amino]benzimidazol-1-
                  yl]methyl]-6-methylpyridin-3-ol 857070-63-4P,
                  3-[(3-Hydroxy-6-methylpyridin-2-yl)methyl]-2-[[3-(morpholin-4-
                  yl)propyl]amino]-3H-benzimidazole-5-carboxylic acid Ethyl Ester
                  857070-64-5P, 1-[(3-Hydroxy-6-methylpyridin-2-yl)methyl]-2-[[3-methylpyridin-2-yl)methyl]-2-[[3-methylpyridin-2-yl)methyl]-2-[[3-methylpyridin-2-yl]methylpyridin-2-yl]methylpyridin-2-yl
                   (morpholin-4-yl)propyl]amino]-1H-benzimidazole-5-carboxylic acid Ethyl
                  Ester 857070-65-6P, 3-[(3-Hydroxy-6-methylpyridin-2-yl)methyl]-2-
                   [[3-(morpholin-4-yl)propyl]amino]-3H-benzimidazole-5-carboxaldehyde
                  857070-68-9P 1009646-51-8P,
                  yl)propyl]amino]-3H-benzimidazole-5-carboxylic acid Ethyl Ester
                  1009646-52-9P, 1-[(3-Hydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methyl]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1)methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-y1]-2-[[3-(4-ydroxy-6-methylpyridin-2-ydroxy-6-methylpyridin-2-ydroxy-6-methylpyridin-2-ydroxy-6-methylpyridin-2-ydroxy-6-methylpyridin-2-ydroxy-6-methylpyridin-2-ydroxy-6-methylpyridin-2-ydroxy-6-methylpyridin-
                  methylpiperazin-1-yl)propyl]amino]-1H-benzimidazole-5-carboxylic acid
                  Ethyl Ester 1009646-53-0P,
                  3-[(3-Hydroxy-6-methylpyridin-2-yl)methyl]-2-[[3-(4-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpiperazin-1-methylpipera
                  yl)propyl]amino]-3H-benzimidazole-5-carboxaldehyde 1009646-56-3P
                  , 2-[[(2,2-Dimethyl-[1,3]dioxolan-4-yl)methyl]amino]-3-[(3-hydroxy-6-
                  methylpyridin-2-yl)methyl]-3H-benzimidazole-5-carboxylic acid Ethyl Ester
                  1009646-57-4P, 2-[(2,2-Dimethyl-[1,3]dioxolan-4-yl)methyl]amino]-
                  1-[(3-hydroxy-6-methylpyridin-2-yl)methyl]-1H-benzimidazole-5-carboxylic
                  acid Ethyl Ester 1009646-58-5P,
                  2-[[2-[[(2,2-Dimethyl-[1,3]dioxolan-4-yl)methyl]amino]-6-
                  hydroxymethylbenzimidazol-1-yl]methyl]-6-methylpyridin-3-ol
                  1009646-59-6P, 2-[[2-[[(2,2-Dimethyl-[1,3]dioxolan-4-
                  y1) methyl]amino]-5-hydroxymethylbenzimidazol-1-y1]methyl]-6-methylpyridin-
                  3-ol 1009646-60-9P, 2-[[(2,2-Dimethyl-[1,3]dioxolan-4-
                  yl)methyl]amino]-3-[(3-hydroxy-6-methylpyridin-2-yl)methyl]-3H-
                  benzimidazole-5-carboxaldehyde 1009646-62-1P,
                  2-Butylamino-3-[(3-hydroxy-6-methylpyridin-2-yl)methyl]-3H-benzimidazole-5-
                  carboxylic Acid Ethyl Ester 1009646-63-2P,
                  2-Butylamino-1-[(3-hydroxy-6-methylpyridin-2-yl)methyl]-1H-benzimidazole-5-
                  carboxylic Acid Ethyl Ester 1009646-64-3P,
                  2-[(2-[Butylamino]-6-hydroxymethylbenzimidazol-1-yl)methyl]-6-
                  methylpyridin-3-ol 1009646-65-4P,
                  2-Butylamino-3-[(3-hydroxy-6-methylpyridin-2-yl)methyl]-3H-benzimidazole-5-
                  carboxaldehyde 1009646-68-7P,
                  3-[(3-Hydroxy-6-methylpyridin-2-yl)methyl]-2-phenethylamino-3H-
                  benzimidazole-5-carboxylic Acid Ethyl Ester 1009646-69-8P,
                  1-[(3-Hydroxy-6-methylpyridin-2-yl)methyl]-2-phenethylamino-1H-
                  benzimidazole-5-carboxylic acid Ethyl Ester 1009646-70-1P,
                  3-[(3-Hydroxy-6-methylpyridin-2-yl)methyl]-2-phenethylamino-3H-
                  benzimidazole-5-carboxaldehyde
                  RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
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(Reactant or reagent)

(preparation of substituted benzimidazole derivs. as respiratory syncytial virus fusion inhibitors)

RN 857035-14-4 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2- [[3-(4-methyl-1-piperazinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 857035-19-9 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]- (CA INDEX NAME)

RN 857035-24-6 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2[(2-phenylethyl)amino]- (CA INDEX NAME)

RN 857067-38-0 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3-N \\ \hline N-CH_2 & N-CH_2 \\ \hline Me-OH & OH \\ \end{array}$$

RN 857067-39-1 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-63-4 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3-N \\ \hline N-CH_2 \\ N-CH_2 \\ \hline O & Me \end{array}$$

RN 857070-64-5 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

RN 857070-65-6 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH- (CH_2)_3 - N \\ N - CH_2 \\ N - OH \end{array}$$

RN 857070-68-9 CAPLUS

CN 3-Pyridinol, 2-[[6-(chloromethyl)-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:4) (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3-N \\ \hline N-CH_2 & N-CH_2 \\ \hline Me & OH \\ \end{array}$$

## ● 4 HCl

RN 1009646-51-8 CAPLUS

CN

1H-Benzimidazole-6-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

RN 1009646-52-9 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

RN 1009646-53-0 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH2)} \\ N & \text{NH- (CH2)} \\ N & \text{OHC} \end{array}$$

RN 1009646-56-3 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid, 2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, ethyl ester (CA INDEX NAME)

RN 1009646-57-4 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, ethyl ester (CA INDEX NAME)

RN 1009646-58-5 CAPLUS

CN 1H-Benzimidazole-6-methanol, 2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]- (CA INDEX NAME)

RN 1009646-59-6 CAPLUS

CN 1H-Benzimidazole-5-methanol, 2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \text{Me} \\ & \text{O} & \text{O} \\ & \text{O} & \text{O} \\ & \text{NH-CH}_2 & \text{OH} \\ & \text{N-CH}_2 & \text{N} \\ & \text{Me} \end{array}$$

RN 1009646-60-9 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]- (CA INDEX NAME)

RN 1009646-62-1 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid, 2-(butylamino)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, ethyl ester (CA INDEX NAME)

RN 1009646-63-2 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-(butylamino)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, ethyl ester (CA INDEX NAME)

RN 1009646-64-3 CAPLUS

CN 1H-Benzimidazole-6-methanol, 2-(butylamino)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]- (CA INDEX NAME)

RN 1009646-65-4 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 2-(butylamino)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]- (CA INDEX

NAME)

RN 1009646-68-7 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(2-phenylethyl)amino]-, ethyl ester (CA INDEX NAME)

RN 1009646-69-8 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(2-phenylethyl)amino]-, ethyl ester (CA INDEX NAME)

RN 1009646-70-1 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(2-phenylethyl)amino]- (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 3 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN ACCESSION NUMBER: 2007:954101 CAPLUS

DOCUMENT NUMBER: 147:377573

TITLE: Selection of a Respiratory Syncytial Virus Fusion

Inhibitor Clinical Candidate. Improving the

Pharmacokinetic Profile Using the Structure-Property

Relationship

AUTHOR(S): Bonfanti, Jean-Francois; Doublet, Frederic; Fortin,

Jerome; Lacrampe, Jean; Guillemont, Jerome; Muller, Philippe; Queguiner, Laurence; Arnoult, Eric; Gevers, Tom; Janssens, Peggy; Szel, Heidi; Willebrords, Rudy;

Timmerman, Philip; Wuyts, Koen; Janssens, Frans; Sommen, Cois; Wigerinck, Piet; Andries, Koen

CORPORATE SOURCE: Medicinal Chemistry Department, Johnson & Johnson

Pharmaceutical Research and Development, Val de Reuil,

F-27106, Fr.

SOURCE: Journal of Medicinal Chemistry (2007), 50(19),

4572-4584

CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 147:377573

The authors previously reported the discovery of substituted benzimidazole fusion inhibitors with nanomolar activity against respiratory syncytial virus. A lead compound of the series was selected for preclin. evaluation. This drug candidate, JNJ-2408068 (formerly R170591, 1), showed long tissue retention times in several species (rat, dog, and monkey), creating cause for concern. The authors herein describe the optimization program to develop compds. with improved properties in terms of tissue retention. The authors have identified the aminoethyl-piperidine moiety as being responsible for the long tissue retention time of 1. The authors have investigated the replacement or the modification of this group, and suggest that the pKa of this part of the mols. influences both the antiviral activity and the pharmacokinetic profile. The authors were able to identify new respiratory syncytial virus inhibitors with shorter half-lives in lung tissue.

IT 856894-98-9P 856894-99-0P 857068-40-7P 950483-51-9P

RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(selection of a respiratory syncytial virus fusion inhibitor clin. candidate through improving the pharmacokinetic profile using the structure-property relationship)

RN 856894-98-9 CAPLUS

CN 3-Pyridinol, 2-[[4,6-dimethyl-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856894-99-0 CAPLUS

CN 1,2-Propanediol, 3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-

dimethyl-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} & \text{OH} \\ \text{N} & \text{NH-CH}_2\text{-CH-CH}_2\text{-OH} \\ \text{N} & \text{NH-CH}_2\text{-HO} \\ \end{array}$$

857068-40-7 CAPLUS RN

3-Pyridinol, 2-[[4,6-dimethyl-2-[[3-(4-morpholinyl)propyl]amino]-1H-CN benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

950483-51-9 CAPLUS 3-Pyridinol, 2-[[4,6-dimethyl-2-[[3-(methylamino)propyl]amino]-1H-CN benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

ΤТ 950483-30-4

> RL: PAC (Pharmacological activity); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses) (selection of a respiratory syncytial virus fusion inhibitor clin. candidate through improving the pharmacokinetic profile using the structure-property relationship)

RN

950483-30-4 CAPLUS 3-Pyridinol, 2-[[2-[(3-aminopropyl)amino]-4,6-dimethyl-1H-benzimidazol-1-CN yl]methyl]-6-methyl- (CA INDEX NAME)

ΙT 856895-02-8P 856895-13-1P 950483-53-1P

950483-55-3P 950483-57-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(selection of a respiratory syncytial virus fusion inhibitor clin. candidate through improving the pharmacokinetic profile using the structure-property relationship)

RN

856895-02-8 CAPLUS 3-Pyridinol, 2-[[2-[(3-hydroxypropyl)amino]-4,6-dimethyl-1H-benzimidazol-1-CN yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-13-1 CAPLUS

3-Pyridinol, 2-[[4,6-dimethyl-2-[(2-phenylethyl)amino]-1H-benzimidazol-1-CN yl]methyl]-6-methyl- (CA INDEX NAME)

RN 950483-53-1 CAPLUS

Acetamide, N-[2-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-CN 1H-benzimidazol-2-yl]amino]ethyl]- (CA INDEX NAME)

RN 950483-55-3 CAPLUS

CN 3-Pyridinol, 2-[[4,6-dimethyl-2-[[2-(phenylamino)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 950483-57-5 CAPLUS

CN 3-Pyridinol, 2-[[2-(butylamino)-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

IT 856895-07-3P 856895-15-3P 856895-41-5P

950483-42-8P 950483-44-0P 950483-46-2P

950483-48-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(selection of a respiratory syncytial virus fusion inhibitor clin. candidate through improving the pharmacokinetic profile using the structure-property relationship)

RN 856895-07-3 CAPLUS

CN  $\beta$ -Alanine, N-[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-1H-benzimidazol-2-yl]-, ethyl ester (CA INDEX NAME)

RN 856895-15-3 CAPLUS

CN 3-Pyridinol, 2-[[2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-41-5 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]-4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]- (CA INDEX NAME)

RN 950483-42-8 CAPLUS

CN 1H-Benzimidazol-2-amine, 4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-N-[3-(4-methyl-1-piperazinyl)propyl]- (CA INDEX NAME)

RN 950483-44-0 CAPLUS

CN 1,3-Propanediamine, N1-[4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]-N3-methyl- (CA INDEX NAME)

RN 950483-46-2 CAPLUS

CN Acetamide, N-[2-[[4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]ethyl]- (CA INDEX NAME)

RN 950483-48-4 CAPLUS

CN 1,2-Ethanediamine, N1-[4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]-N2-phenyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH-CH}_2\text{-CH}_2\text{-NHPh} \\ \text{NH-CH}_2\text{-O} \end{array}$$

REFERENCE COUNT:

22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 4 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1356990 CAPLUS

DOCUMENT NUMBER: 146:100696

TITLE: Preparation of 1-[(2-amino-3-(substituted

alkyl)-3H-benzimidazolyl)methyl]-3-substituted-1,3-

dihydro-benzimidazol-2-ones with activity on

respiratory syncytial virus (RSV)

INVENTOR(S): Bonfanti, Jean-Francois; Muller, Philippe; Fortin,

Jerome Michel Claude; Doublet, Frederic Marc Maurice

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd, Ire.

SOURCE: PCT Int. Appl., 52pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	TENT								APPLICATION NO.						DATE								
WO	2006				A1										2	0060	620						
	W:	ΑE,	AG,	AL,	AM,	ΑT,	ΑU,	ΑZ,	BA,	BB,	BG,	BR,	BW,	BY,	BZ,	CA,	CH,						
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,						
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KM,	KN,	KP,						
		KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,						
		MW,	MX,	MZ,	NA,	NG,	NΙ,	NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,						
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,						
		US,	UZ,	VC,	VN,	ZA,	ZM,	ZW															
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,						
		IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PΤ,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,						
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,						
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,						
					RU,																		
AU	2006	2609	69		A1		2006	1228		AU 2	006-	2609	69		2	0060	620						
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EP	1896	473			A1	2008	0312	EP 2006-763808															
	R:	ΑT,	BE,	ВG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	IE,						
		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	AL,						
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MX	2007	1653	7		Α		2008	0306			2007-												
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THER SO	OURCE	(S):			CAS:	REAC	CT 14	6:10	0696	; MA	RPAT	146	:100	696									

# \* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I [R = II or III; Q = H or alkyl optionally substituted with a heterocycle or Q = alkyl substituted with both a radical -OR4 and a heterocycle; Alk = alkanediyl; X = O or S; al:a2a3:a4 = N:CHCH:CH, CH:NCH:CH, CH:CHN:CH or CH:CHCH:N; R1 = Ar or a heterocycle; R2 = H, (un)substituted alkyl, cycloalkyl; R3 = H, alkyl, cyano, aminocarbonyl, polyhaloalkyl, alkenyl or alkynyl; R4 = H or alkyl; Ar = (un)substituted Ph; and their salts], useful as inhibitors of RSV replication, were prepared E.g., a multi-step synthesis of IV, starting from V, was given. Exemplified compds. I were screened in vitro for their activity against respiratory syncytial virus (pEC50 values were provided). Pharmaceutical compns. containing compds. I and processes for preparing compds. I were

disclosed.

IT 918151-94-7P 918151-95-8P 918151-96-9P
918151-97-0P 918151-98-1P 918152-00-8P
918152-01-9P 918152-03-1P 918152-04-2P
918152-05-3P 918152-06-4P 918152-07-5P
918152-08-6P 918152-10-0P 918152-11-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1-[(2-amino-3-(substituted

alkyl)-3H-benzimidazolyl)methyl]-3-substituted-1,3-dihydro-benzimidazol-2-ones with activity on respiratory syncytial virus)

RN 918151-94-7 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

RN 918151-95-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

RN 918151-96-9 CAPLUS

CN 2H-Imidazo[4,5-c]pyridinium, 1,3-dihydro-1-(2-hydroxyethyl)-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

RN 918151-97-0 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-1-[2-(phenylmethoxy)ethyl]-, inner salt (CA INDEX NAME)

PAGE 1-A

PAGE 1-B

-- CH<sub>2</sub>-- Ph

RN 918151-98-1 CAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 1-cyclopropyl-2,3-dihydro-3-[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo- (CA INDEX NAME)

RN 918152-00-8 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-1-(3-phenylpropyl)-, inner salt (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 918152-01-9 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-1-(2-phenylethyl)-, inner salt, hydrochloride (1:1) (CA INDEX NAME)

$$CH_2$$
  $CH_2$   $CH_2$ 

● HCl

RN 918152-03-1 CAPLUS

CN 2H-Benzimidazol-2-one, 1-cyclopropyl-1,3-dihydro-3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]- (CA INDEX NAME)

RN 918152-04-2 CAPLUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-3-(2-phenylethyl)- (CA INDEX NAME)

RN 918152-05-3 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[2-(4-morpholinyl)ethyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

RN 918152-06-4 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[2-(4-morpholinyl)ethyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

RN 918152-07-5 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 2,3-dihydro-5-[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-1-(2-phenylethyl)-, inner salt (CA INDEX NAME)

CH<sub>2</sub> 
$$\rightarrow$$
 CH<sub>2</sub>  $\rightarrow$  CH<sub>2</sub>

RN 918152-08-6 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-[2-(4-fluorophenyl)ethyl]-2,3-dihydro-5-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

PAGE 1-A

RN 918152-10-0 CAPLUS

CN 1H-Imidazo[4,5-c]pyridinium, 1-cyclopropyl-2,3-dihydro-5-[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-5-yl]methyl]-2-oxo-, inner salt (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN 918152-11-1 CAPLUS

CN 2H-Benzimidazol-2-one, 1,3-dihydro-1-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-3-(3-phenylpropyl)- (CA INDEX NAME)

IT 857067-38-0 857071-29-5

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of 1-[(2-amino-3-(substituted
 alkyl)-3H-benzimidazolyl)methyl]-3-substituted-1,3-dihydro-benzimidazol 2-ones with activity on respiratory syncytial virus)

RN 857067-38-0 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3-N \\ \hline N-CH_2 & N-CH_2 \\ \hline Me-OH & OH \\ \end{array}$$

RN 857071-29-5 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

N NH- 
$$(CH_2)_3$$
 N NH-  $R$ 

IT 857070-36-1P 857070-68-9P 857071-34-2P

918152-25-7P 918152-26-8P 918152-27-9P

918152-28-0P 918152-30-4P 918152-31-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 1-[(2-amino-3-(substituted

alkyl)-3H-benzimidazolyl)methyl]-3-substituted-1,3-dihydro-benzimidazol-2-ones with activity on respiratory syncytial virus)

RN 857070-36-1 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-68-9 CAPLUS

CN 3-Pyridinol, 2-[[6-(chloromethyl)-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:4) (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH2)}_3 - N \\ \hline N - \text{CH2} \\ \text{Me} & \text{OH} \end{array}$$

## ● 4 HCl

RN 857071-34-2 CAPLUS

CN 3-Pyridinol, 2-[[6-(chloromethyl)-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-, hydrochloride (1:4) (CA INDEX NAME)

### ● 4 HCl

RN 918152-25-7 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2- [[2-(4-morpholinyl)ethyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH-CH}_2\text{-CH}_2 \\ \hline N & \text{CH}_2 \\ \hline \text{Me} & OH \\ \end{array}$$

RN 918152-26-8 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2- [[2-(4-morpholinyl)ethyl]amino]- (CA INDEX NAME)

RN 918152-27-9 CAPLUS

CN 3-Pyridinol, 2-[[6-(chloromethyl)-2-[[2-(4-morpholinyl)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:4) (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-CH_2-CH_2-N \\ \hline N-CH_2 & N-CH_2 \\ \hline Me & OH \\ \end{array}$$

#### ● 4 HCl

RN 918152-28-0 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[2-(4-morpholinyl)ethyl]amino]- (CA INDEX NAME)

RN 918152-30-4 CAPLUS

CN 3-Pyridinol, 2-[[6-(chloromethyl)-2-[[2-(4-morpholinyl)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-, hydrochloride (1:4) (CA INDEX NAME)

## ● 4 HCl

RN 918152-31-5 CAPLUS

CN 3-Pyridinol, 2-[[5-(chloromethyl)-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-, hydrochloride (1:4) (CA INDEX NAME)

#### ● 4 HCl

IT 1062064-57-6P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of 1-[(2-amino-3-(substituted alkyl)-3H-benzimidazolyl)methyl]-3-substituted-1,3-dihydro-benzimidazol-2-ones with activity on respiratory syncytial virus)

RN 1062064-57-6 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[2-(4-morpholinyl)ethyl]amino]- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1356649 CAPLUS

DOCUMENT NUMBER: 146:100692

TITLE: Preparation of 2-substituted benzimidazoles as

respiratory syncytial virus (RSV) replication

inhibitors

INVENTOR(S): Bonfanti, Jean-Francois; Muller, Philippe; Fortin,

Jerome Michel Claude; Doublet, Frederic Marc Maurice

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd, Ire.

SOURCE: PCT Int. Appl., 42pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GT

PA'	PATENT NO.						DATE			APF	PLICAT		D	DATE									
WO	2006136563			A1	_	2006	1228		WO 2006-EP63367						20060620								
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ	Z, EC,	EE,	EG,	ES,	FΙ,	GB,	GD,						
		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN	1, IS,	JP,	ΚE,	KG,	ΚM,	KN,	ΚP,						
		KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU	J, LV,	LY,	MA,	MD,	MG,	MK,	MN,						
		MW,	MX,	MΖ,	NA,	NG,	NI,	NO,	NΖ,	OM	1, PG,	PH,	PL,	PT,	RO,	RS,	RU,						
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	ΤJ	J, TM,	TN,	TR,	TT,	ΤZ,	UA,	UG,						
		US,	UZ,	VC,	VN,	ZA,	ZM,	ZW															
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE	E, ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,						
		IS,	IT,	LT,	LU,	LV,	MC,	NL,	PL,	PΊ	, RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,						
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	MI	J, MR,	NE,	SN,	TD,	ΤG,	BW,	GH,						
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ	z, TZ,	UG,	ZM,	ZW,	ΑM,	ΑZ,	BY,						
		KG,	KΖ,	MD,	RU,	ΤJ,	TM																
AU	2006	2609	71		A1		2006	1228		ΑU	2006-	2609	71		2	0060	620						
					A1 20061228																		
EP	1896	450			A1	A1 20080312 EP 2006-777372								20060620									
	R:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE	E, ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,						
		IS,	ΙΤ,	LI,	LT,	LU,	LV,	MC,	ΝL,	PΙ	J, PT,	RO,	SE,	SI,	SK,	TR,	ΑL,						
		ΒA,	HR,	MK,	YU																		
JP	2008	5467	40		Τ		2008	1225		JΡ	2008-	-5174	89		2	0060	620						
IN	2007	DN09					2008	0620			2007-					0071	213						
MX	2007	1631	3		А		2008	0307			2007-		-			0071							
CN	1012	0350	7		А		2008	0618		СИ	2006-	8002	2016		2	0071	219						
PRIORIT	Y APP	LN.	INFO	.:						EΡ	2005-	7644	0		A 2	0050	620						
										WO	2006-	EP63	367		W 2	0060	620						
OTHER S	THER SOURCE(S):						146:	1006	92				IARPAT 146:100692										

<sup>\*</sup> STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB The title compds. I [Q = H, alkyl optionally substituted with a heterocycle or Q = alkyl substituted with both OR4 and a heterocycle (wherein said heterocycle = oxazolidine, thiazolidine, 1-oxo-thiazolidine, 1,1-dioxothiazolidine, morpholinyl, etc.); each Alk = alkanediyl; R1 = Ar2 or (un)substituted piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, pyridyl, etc.; R2 = H or alkyl; R3, R4 = H, alkyl, hydroxyalkyl, etc.; Ar2 = (un)substituted Ph], useful as inhibitors of RSV replication, were prepared E.g., a multi-step synthesis of II, starting with III and IV, was given. Exemplified compds. I were screened in vitro for activity against respiratory syncytial virus (pEC50 values were given). Pharmaceutical

composition comprising the compound I is disclosed.

IT 918155-50-7P 918155-62-1P 918155-63-2P

918155-64-3P 918155-65-4P 918155-66-5P

918155-67-6P 918155-68-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-substituted benzimidazoles as respiratory syncytial virus (RSV) replication inhibitors)

RN 918155-50-7 CAPLUS

CN Acetamide, N-[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-6-[[[2-(3-hydroxypropyl)-5-methylphenyl]amino]methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-62-1 CAPLUS

CN Acetamide, N-[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-6-[[[2-(3-hydroxypropyl)phenyl]amino]methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-63-2 CAPLUS

CN Acetamide, N-[6-[[(3,5-dichlorophenyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-64-3 CAPLUS

CN Acetamide, N-[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-6-[[(3-methylphenyl)amino]methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-65-4 CAPLUS

CN Acetamide, N-[6-[[(3-ethynylphenyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-66-5 CAPLUS

CN Acetamide, N-[6-[[(2,5-dimethylphenyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-67-6 CAPLUS

CN Acetamide, N-[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-6-[[[2-[2-(4-morpholinyl)ethyl]phenyl]amino]methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{O} \\ & \text{N} \\ & \text{OH} \\ & \text{CH}_2 \\ & \text{CH}_2 \\ & \text{NH} \\ & \text{CH}_2 \\ & \text{NH} \\ & \text{CH}_2 \\ & \text{NH} \\ &$$

RN 918155-68-7 CAPLUS

CN Benzenepropanamide, 2-[[[2-(acetylamino)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

IT 918155-70-1P 918155-71-2P 918155-72-3P

918155-73-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 2-substituted benzimidazoles as respiratory syncytial virus (RSV) replication inhibitors)

RN 918155-70-1 CAPLUS

CN Acetamide, N-[6-[[(1,1-dimethylethyl)dimethylsilyl]oxy]methyl]-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-71-2 CAPLUS

CN Acetamide, N-[6-(hydroxymethyl)-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-72-3 CAPLUS

CN Acetamide, N-[6-formyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

RN 918155-73-4 CAPLUS

CN Acetamide, N-[6-[[[2-(3-hydroxypropyl)-5-methylphenyl]amino]methyl]-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]- (CA INDEX NAME)

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 6 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1355985 CAPLUS

DOCUMENT NUMBER: 146:100688

DOCUMENT NUMBER: 140:100000

TITLE: Preparation of heterocyclylaminoalkyl substituted benzimidazoles as respiratory syncytial virus (RSV)

replication inhibitors

INVENTOR(S): Bonfanti, Jean-Francois; Muller, Philippe; Fortin,

Jerome Michel Claude; Doublet, Frederic Marc Maurice

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd, Ire.

SOURCE: PCT Int. Appl., 40pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND		DATE			APPL	ICAT	DATE					
WO 2006136562				A1	_	2006	 1228		WO 2	 006-:		20060620					
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		GE,	GH,	GM,	HN,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,
		KR,	KΖ,	LA,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,
		MW,	MX,	MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RS,	RU,
		SC,	SD,	SE,	SG,	SK,	SL,	SM,	SY,	ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,
		US,	UΖ,	VC,	VN,	ZA,	ZM,	ZW									
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,
		IS,	ΙT,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	ΤG,	BW,	GH,
		GM,	ΚE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	ΑZ,	BY,
		KG,	KΖ,	MD,	RU,	ΤJ,	TM										
AU 2006260970				A1		2006	1228		AU 2	006-	2609	70		20060620			

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CA 2612264
                                 20061228
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                                                                     20060620
                          Α1
     EP 1896449
                                             EP 2006-763809
                          Α1
                                 20080312
                                                                     20060620
         R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
             IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL,
             BA, HR, MK, YU
     JP 2008546739
                           Τ
                                 20081225
                                             JP 2008-517488
                                                                     20060620
     MX 200716311
                           Α
                                 20080307
                                             MX 2007-16311
                                                                     20071217
     IN 2007DN09755
                           Α
                                 20080620
                                             IN 2007-DN9755
                                                                     20071217
     CN 101203506
                                 20080618
                                             CN 2006-80021970
                                                                     20071219
PRIORITY APPLN. INFO.:
                                             EP 2005-76439
                                                                     20050620
                                             WO 2006-EP63366
                                                                  W
                                                                     20060620
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OTHER SOURCE(S): GΙ

RN

CASREACT 146:100688; MARPAT 146:100688

Ι

AΒ The title compds. I [Q = H, alkyl optionally substituted with aheterocycle or Q = alkyl substituted with both -OR4 and a heterocycle (wherein said heterocycle = (un) substituted oxazolidine, thiazolidine, 1-oxo-thiazolidine, 1,1-dioxothiazolidine, morpholinyl, thiomorpholinyl, etc.); each Alk = alkanediyl; R1 = Ar or (un)substituted piperidinyl, piperazinyl, morpholinyl, thiomorpholinyl, pyridyl, etc.; R3 = hydroxyalkyl, alkoxyalkyl, cyanoalkyl, etc.; R2, R4 and R5 = H or alkyl; Het = pyridyl, pyrazinyl, pyridazinyl, etc.], useful as inhibitors of RSV replication, were prepared E.g., a multi-step synthesis of II, starting from Et 3,4-diaminobenzoate, was given. Exemplified compds. I were screened in vitro for activity against RSV (pEC50 values were provided). Pharmaceutical composition comprising the compound I is disclosed. ΙT 918153-21-6P 918153-22-7P 918153-23-8P 918153-24-9P 918153-25-0P 918153-27-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of heterocyclylaminoalkyl substituted benzimidazoles as respiratory syncytial virus (RSV) replication inhibitors) 918153-21-6 CAPLUS

CN 2-Pyridineethanol, 3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl]methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl]methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl]methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl]methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl]methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl]methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl]methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl]methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl]methyl]-2-[[3-hydroxy-6-methyl-2-pyridinyl]methyl-2-[[3-hydroxy-6-methyl-2-pyri(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 918153-22-7 CAPLUS

CN 2-Pyridineethanol, 3-[[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 918153-23-8 CAPLUS

CN 4-Pyridineethanol, 3-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 918153-24-9 CAPLUS

CN 4-Pyridineethanol, 3-[[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

NH-CH<sub>2</sub> NH- (CH<sub>2</sub>)<sub>3</sub> N 
$$=$$
 NH-CH<sub>2</sub>  $=$  CH<sub>2</sub>-CH<sub>2</sub>-OH

RN 918153-25-0 CAPLUS

CN 2-Pyridineethanol, 3-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-5-methyl-(CA INDEX NAME)

RN 918153-27-2 CAPLUS

CN 2-Pyridineethanol, 3-[[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-5-methyl-(CA INDEX NAME)

IT 857067-38-0P 857067-39-1P 857070-36-1P

857070-65-6P 857071-29-5P 857071-32-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of heterocyclylaminoalkyl substituted benzimidazoles as respiratory syncytial virus (RSV) replication inhibitors)

RN 857067-38-0 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2- [[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3-N \\ \hline N-CH_2 & N-CH_2 \\ \hline Me & OH \\ \end{array}$$

RN 857067-39-1 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2- [[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-36-1 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-65-6 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857071-29-5 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

N NH- 
$$(CH_2)_3$$
 N NH-  $R$ 

RN 857071-32-0 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:570884 CAPLUS

DOCUMENT NUMBER: 143:97367

TITLE: Preparation of 5- or 6-substituted benzimidazole

derivatives as inhibitors of respiratory syncytial

virus replication

INVENTOR(S): Bonfanti, Jean-francois; Andries, Koenraad Jozef

Lodewijk; Fortin, Jerome Michel Claude; Muller,

Philippe; Doublet, Frederic Marc Maurice; Meyer, Christophe; Willebrords, Rudy Edmond; Gevers, Tom Valerius Josepha; Timmerman, Philip Maria Martha Bern

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 100 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND DATE						LICAT						
WO	2005	0588	 74								2004-			0041	220		
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DΖ	, EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS	, JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG	, MK,	MN,	MW,	MX,	MZ,	NΑ,	NΙ,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU	, SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US	, UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	ΜZ,	NA,	SD	, SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT	, BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS	, IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	CF,	CG	, CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
		MR,	ΝE,	SN,	TD,	ΤG											
AU	2004	2984	59		A1		2005	0630		AU	2004-	2984	59		2	0041	220
CA	CA 2548793			A1		2005	0630		CA	2004-	2548	793		2	0041	220	
EP	1697	347			A1		2006	0906		EΡ	2004-	8049	54		2	0041	220
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		ΙE,	SI,	LT,	LV,	FI,	RO,	MK,	CY,	AL	, TR,	BG,	CZ,	EE,	HU,	PL,	SK,
		HR,	IS,	YU													
CN	1914	196			A		2007	0214		CN	2004-	8004	1654		2	0041	220
	2004						2007	0327		BR	2004-	1727.	3		2	0041	220
JP	2007	5147	19		${ m T}$		2007	0607		JΡ	2006-	5444	65		2	0041	220
IN	2006	DN03	418		A		2007	0420		IN	2006-	DN34	18		2	0060	614
	2007				A1					US	2006-	5965.	21		2	0060	615
MX	2006	0071	11		A		2006	0823		MX	2006-	7111			2	0060	619
KR	2006	1270	47		Α		2006	1211			2006-					0060	714
IORIT	Y APP	LN.	INFO	.:							2003-					0031	
											2004-					0040	430
											2004 - 3				W 2	0041	220
HER S		CASI	REAC	T 14	3:97	367;	MA	RPAT	143:	9736	7						

OTHER SOURCE(S): CASREACT 143:97367; MARPAT 143:97367

GI

$$R^{5}$$
 $N$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{3}$ 

AΒ The title compds. I [Q = Ar2, R6, pyrrolidinyl substituted with R6,piperidinyl substituted with R6 or homopiperidinyl substituted with R6; G = a direct bond or (un)substituted alkanediyl; R1 = Ar1 or a monocyclic or bicyclic heterocycle; one of R2a and R2b = cyanoalkyl, cyanoalkenyl, Ar3(alkyl), Het(alkyl), etc., and the other one of R2a and R2b = H; in case R2a = H, then R3 = H; in case R2b = H, the R3 = H or alkyl; R5 = H, alkyl; R6 = H, (un)substituted alkyl, etc.; Ar1, Ar2 = (un)substituted Ph; Ar3 = (un)substituted Ph, naphthalenyl, 1,2,3,4-tetrahydronaphthalenyl, indanyl; heterocycle; and a prodrug, N-oxide, addition salt, quaternary amine, metal complex or stereochem. isomeric form thereof] having inhibitory activity on the replication of the respiratory syncytial virus, were prepared E.g., a multi-step synthesis of II, starting from Et 3,4-diaminobenzoate, was given. The exemplified compds. I were tested for activity against RSV (data given). The pharmaceutical composition comprising the compound I is disclosed.

IT 857035-13-3P 857035-18-8P 857035-20-2P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of 5- or 6-substituted benzimidazole derivs. as inhibitors of respiratory syncytial virus replication)

RN 857035-13-3 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2[[3-(1-piperidinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH}_2)_3 & N \\ \hline N & \text{CH}_2 \\ \hline Me & OH \\ \end{array}$$

RN 857035-18-8 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(1-piperidinyl)propyl]amino]- (CA INDEX NAME)

857035-20-2 CAPLUS RN

 $3- Pyridinol, \ 2- [[2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-6-dioxolan-4-yl)methyl] \\$ CN [[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

857035-09-7P 857035-10-0P 857035-11-1P ΙT

857035-12-2P 857035-14-4P 857035-15-5P

857035-16-6P 857035-17-7P 857035-19-9P 857035-21-3P 857035-22-4P 857035-23-5P

857035-24-6P 857035-25-7P 857035-26-8P

857035-27-9P 857035-28-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 5- or 6-substituted benzimidazole derivs. as inhibitors of respiratory syncytial virus replication)

RN 857035-09-7 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(1-mu)]methyl]-2-[3-(1-mu)]methyl]-2-[3-(1-mu)]methyl]-2-[3-(1-mu)]methyl]-2-[3-(1-mu)]methyl]-2-[3-(1-mu)]methyl]-2-[3-(1-mu)]methyl]-2-[3-(1-mu)]methyl]-2-[3-(1-mu)]methyl]-2-[3-(1-mu)]methyl]-2-[3-(1-mu)]methyl]-2-[3-(1-mu)]methyl]-2-[3-(1-mu)]methyll-2-[3-(1-mu)]methyll-2-[3-(1-mu)]methyll-2-[3-(1-mu)]methyll-2-[3-(1-mu)]methyll-2-[3-(1-mu)]methyll-2-[3-(1-mu)]methyll-2-[3-(1-mu)]methyll-2-[3-(1-mu)]methyll-2-[3-(1-mu)]piperidinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

857035-10-0 CAPLUS RN

3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(4-methyl-1-methyl)amino]methyl]]CN piperazinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA

INDEX NAME)

857035-11-1 CAPLUS RN

CN 1,2-Propanediol, 3-[[6-[[(3,5-dimethylphenyl)amino]methyl]-1-[(3-hydroxy-6-methylphenyl)amino]methyl]-1-[(3-hydroxy-6-methylphenyl)amino]methylphenyl)amino]methylphenylmethyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{OH} \\ \text{NH-CH}_2-\text{CH-CH}_2-\text{OH} \\ \text{Me} \\ \text{NH-CH}_2 \end{array}$$

RN

857035-12-2 CAPLUS 3-Pyridinol, 2-[[5-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(1-CN piperidinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857035-14-4 CAPLUS

1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-CN [[3-(4-methyl-1-piperazinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & &$$

RN 857035-15-5 CAPLUS

CN 3-Pyridinol, 2-[[5-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Me NH- 
$$CH_2$$
 NH-  $(CH_2)_3$  N Ne Me Me OH

RN 857035-16-6 CAPLUS

CN 1,2-Propanediol, 3-[[5-[[(3,5-dimethylphenyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

RN 857035-17-7 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[2-(4-methoxyphenyl)ethyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OMe} \\ & \text{NH-CH}_2\text{-CH}_2 \\ & \text{HO-CH}_2 \\ & \text{Me} \end{array} \begin{array}{c} & \text{OMe} \\ & \text{OH} \\ \end{array}$$

RN 857035-19-9 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]- (CA INDEX NAME)

RN 857035-21-3 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(2-phenylethyl)amino]- (CA INDEX NAME)

RN 857035-22-4 CAPLUS

CN 3-Pyridinol, 2-[[5-[[(3,5-dimethylphenyl)amino]methyl]-2-[(2-phenylethyl)amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857035-23-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[(2-phenylethyl)amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857035-24-6 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(2-phenylethyl)amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{NH-CH}_2\text{-CH}_2\text{-Ph} \\ & \text{NO-CH}_2 \end{array}$$

857035-25-7 CAPLUS RN

1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-CN [[2-(4-methoxyphenyl)ethyl]amino]- (CA INDEX NAME)

RN

857035-26-8 CAPLUS 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[[2-(4-CN methoxyphenyl)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857035-27-9 CAPLUS

CN 3-Pyridinol, 2-[[5-[[(3,5-dimethylphenyl)amino]methyl]-2-[[2-(4-methylphenyl)amino]methylphenylamino]methylphenylamino[[2-(4-methylphenyl)amino]methylphenylamino[[2-(4-methylphenyl)amino]methylphenylamino[[2-(4-methylphenyl)amino]methylphenylamino[[2-(4-methylphenyl)amino]methylphenylamino[[2-(4-methylphenyl)amino[[2-(4-methylphenyl]amino[[2-(4-methylphenyl]amino[[2-(4-methylphenyl]amino[[2-(4-methylphenyl]amino[[2-(4-methylphenymethoxyphenyl)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

857035-28-0 CAPLUS RN

3-Pyridinol, 2-[[6-[[(3,5-dichlorophenyl)amino]methyl]-2-[(2-CN phenylethyl)amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

IT 857035-58-6P 857035-59-7P 857035-60-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of 5- or 6-substituted benzimidazole derivs. as inhibitors of respiratory syncytial virus replication)

RN 857035-58-6 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid,

1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(1-piperidinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3-N \\ \hline N-CH_2 & N-CH_2 \\ \hline O & Me-CH_2 \\ \hline \end{array}$$

RN 857035-59-7 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(1-piperidinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

RN 857035-60-0 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(1-piperidinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3-N \\ N-CH_2 & N-CH_2 \\ N-CH_2 & OH \\ \end{array}$$

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:570883 CAPLUS

DOCUMENT NUMBER: 143:97366

TITLE: Preparation of aminobenzimidazoles as inhibitors of

respiratory syncytial virus replication

INVENTOR(S): Bonfanti, Jean-francois; Andries, Koenraad Jozef

Lodewijk; Fortin, Jerome Michel Claude; Muller, Philippe; Doublet, Frederic Marc Maurice; Meyer, Christophe; Willebrords, Rudy Edmond; Gevers, Tom Valerius Josepha; Timmerman, Philip Maria Martha Bern

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 110 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PA'	PATENT NO.						DATE			APPI	LICAT	ION I	NO.		D.		
WO	2005	0588	 69		A1	2005	0630	,	WO 2	2004-	EP53		2	0041	220		
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,
		NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ΤJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	VN,	YU,	ZA,	ZM,	ZW
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		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	ΗU,	ΙE,	IS,	IT,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
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	2004							2004-		_							
=	2548										2004-						
EP	1697												20041220				
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		,	HR,	IS,	YU												
	1918							0221			2004-						
	2004						2007				2004-					0041	
	2007						2007				2006-					0041	
	2006				А		2007				2006-					0060	
	US 20070099924						2007				2006-					0060	-
	2006				А		2006				2006-					0060	
_	2006		-				2006	-			2006-					0060	
	2006				А		2006	1213			2006-					0060	
PRIORIT	Y APP	LN.	INFO	. :						EP 2	2003-	1047	97	Ž	A 2	0031	218

CASREACT 143:97366; MARPAT 143:97366

OTHER SOURCE(S):

AB The title compds. I [G = a direct bond or (un)substituted alkanediyl; R1 = Ar1 or a monocyclic or bicyclic heterocycle; Q = H, amino or mono- or dialkylamino; one of R2a and R3a = halo, optionally mono- or polysubstituted alkyl, optionally mono- or polysubstituted alkenyl, nitro, hydroxy, etc.; and the other one of R2a and R3a = H; in case R2a is different from hydrogen then R2b = H, alkyl or halogen and R3b = H; in case R3a is different from hydrogen then R3b = H, alkyl or halogen and R2b = H; R5 is not defined; Ar1 = (un)substituted Ph; and the prodrugs, N-oxides, addition salts, quaternary amines, metal complexes and stereochem. isomeric forms thereof] having inhibitory activity on RSV replication, were prepared E.g., a multi-step synthesis of II, starting from Et 2-aminobenzimidazole-5-carboxylate, was given. The exemplified compds. I were tested for activity against RSV (data given). The pharmaceutical composition comprising the compound I is disclosed.

IT 856835-30-8P 856835-51-3P 856835-58-0P 856835-64-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of aminobenzimidazoles as inhibitors of respiratory syncytial virus replication)  $\label{eq:preparation}$ 

RN 856835-30-8 CAPLUS

CN

3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)(3-methylphenyl)amino]methyl]-2-(methylamino)-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856835-51-3 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-(methylamino)- (CA INDEX NAME)

856835-58-0 CAPLUS RN

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-(methylamino) - (CA INDEX NAME)

RN

856835-64-8 CAPLUS
3-Pyridinol, 2-[[5-[[(2-hydroxyethyl)(3-methylphenyl)amino]methyl]-2-CN (methylamino)-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

ΙT 1060720-96-8P 1060721-93-8P 1060729-12-5P

> RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of aminobenzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 1060720-96-8 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(methoxycarbonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 1060721-93-8 CAPLUS CN 1H-Benzimidazole-5-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[(methoxycarbonyl)amino]-, ethyl ester (CA INDEX NAME)

RN 1060729-12-5 CAPLUS

CN 3-Pyridinol, 2-[[6-(chloromethyl)-2-(methylamino)-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:1) (CA INDEX NAME)

● HCl

REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:564655 CAPLUS

DOCUMENT NUMBER: 143:97374

TITLE: Preparation of morpholine containing benzimidazoles as

inhibitors of respiratory syncytial virus replication

INVENTOR(S): Bonfanti, Jean-Francois; Andries, Koenraad Jozef

Lodewijk; Fortin, Jerome Michel Claude; Muller, Philippe; Doublet, Frederic Marc Maurice; Meyer, Christophe; Willebrords, Rudy Edmond; Gevers, Tom Valerius Josepha; Timmerman, Philip Maria Martha Bern

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 144 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.					KIND		DATE		APPLICATION NO.					DATE				
	WO	NO 2005058871			A1		20050630		WO 2004-EP53620					20041220				
		W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	BG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
			CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,
			GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KP,	KR,	KΖ,	LC,
			LK.	LR.	LS.	LT.	LU.	LV.	MA.	MD.	MG.	MK.	MN.	MW.	MX.	MZ.	NA.	NI.

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NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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             EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
             RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
             MR, NE, SN, TD, TG
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PRIORITY APPLN. INFO.:
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                                                                  W
                                                                      20041220
                                             US 2006-563691
                                                                  A1 20060104
OTHER SOURCE(S):
                         CASREACT 143:97374; MARPAT 143:97374
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GΙ

AB The title compds. I [G = a direct bond or (un)substituted alkanediyl; R1 = Ar1 or a monocyclic or bicyclic heterocycle; <math>Q = R7, pyrrolidinyl

substituted with R7, piperidinyl substituted with R7 or homopiperidinyl substituted with R7; one of R2a and R3a = halo, optionally mono- or polysubstituted alkyl, optionally mono- or polysubstituted alkenyl, nitro, hydroxy, etc.; and the other one of R2a and R3a = H; in case R2a is different from H atom then R2b = H, alkyl or halogen and R3b = H; in case R3a is different from H atom then R3b = H, alkyl or halogen and R2b = H; R5 = H, alkyl; Ar1 = (un)substituted Ph; R7 = alkyl substituted with heterocycle or alkyl substituted with both a radical OR8 and a heterocycle; R8 = H, alkyl, Ar1alkyl; or a prodrug, N-oxide, addition salt, quaternary amine, metal complex or stereochem. isomeric form thereof] having inhibitory activity on the replication of the respiratory syncytial virus, were prepared E.g., a multi-step synthesis of II, starting from Et 3,4-diaminobenzoate, was given. The compds. I were tested for activity against RSV (data given). The pharmaceutical composition comprising the compound

I is disclosed.

IT 857067-38-0P 857067-39-1P 857068-61-2P 857069-72-8P 857070-23-6P 857070-36-1P 857070-37-2P 857070-98-5P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of morpholine containing benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 857067-38-0 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH2)}_3 & \text{N} \\ \hline N & \text{CH2} \\ \hline N & \text{OH} \\ \end{array}$$

RN 857067-39-1 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857068-61-2 CAPLUS

CN 1H-Benzimidazole-6-methanol, 2-[[3-[(2R,6S)-2,6-dimethyl-4-morpholinyl]propyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{Me} \\ \end{array}$$

RN 857069-72-8 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

HO-CH<sub>2</sub> NH- (CH<sub>2</sub>)<sub>3</sub> NH- 
$$R$$

RN 857070-23-6 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH}_2)_3 & \text{N} \\ \hline N & \text{CH}_2 \\ \hline N & \text{OMe} \\ \end{array}$$

RN 857070-36-1 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-37-2 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2- [[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-98-5 CAPLUS

CN 1H-Benzimidazole-5-methanol, 2-[[3-[(2R,6S)-2,6-dimethyl-4-morpholinyl]propyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

HO N 
$$(CH_2)_3$$
  $N$   $S$   $Me$ 

IT 857066-88-7P 857066-89-8P 857066-90-1P 857066-91-2P 857066-92-3P 857066-93-4P 857066-94-5P 857066-95-6P 857066-96-7P 857066-97-8P 857066-98-9P 857066-99-0P 857067-00-6P 857067-01-7P 857067-02-8P 857067-03-9P 857067-04-0P 857067-05-1P

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857067-06-2P 857067-07-3P 857067-08-4P
857067-09-5P 857067-10-8P 857067-11-9P
857067-12-0P 857067-13-1P 857067-14-2P
857067-15-3P 857067-16-4P 857067-17-5P
857067-18-6P 857067-19-7P 857067-20-0P
857067-21-1P 857067-22-2P 857067-23-3P
857067-24-4P 857067-25-5P 857067-26-6P
857067-27-7P 857067-28-8P 857067-29-9P
857067-30-2P 857067-31-3P 857067-32-4P
857067-33-5P 857067-34-6P 857067-35-7P
857067-36-8P 857067-37-9P 857067-40-4P
857067-41-5P 857067-42-6P 857067-43-7P
857067-44-8P 857067-45-9P 857067-46-0P
857067-47-1P 857067-48-2P 857067-49-3P
857067-50-6P 857067-51-7P 857067-52-8P
857067-53-9P 857067-54-0P 857067-55-1P
857067-56-2P 857067-57-3P 857067-58-4P
857067-59-5P 857067-60-8P 857067-61-9P
857067-62-0P 857067-63-1P 857067-64-2P
857067-65-3P 857067-66-4P 857067-67-5P
857067-68-6P 857067-69-7P 857067-70-0P
857067-71-1P 857067-72-2P 857067-73-3P
857067-74-4P 857067-75-5P 857067-76-6P
857067-77-7P 857067-78-8P 857067-79-9P
857067-80-2P 857067-81-3P 857067-82-4P
857067-83-5P 857067-84-6P 857067-85-7P
857067-86-8P 857067-87-9P 857067-88-0P
857067-89-1P 857067-90-4P 857067-91-5P
857067-92-6P 857067-93-7P 857067-94-8P
857067-95-9P 857067-96-0P 857067-97-1P
857067-98-2P 857067-99-3P 857068-00-9P
857068-01-0P 857068-02-1P 857068-03-2P
857068-04-3P 857068-05-4P 857068-06-5P
857068-07-6P 857068-08-7P 857068-09-8P
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857068-19-0P 857068-20-3P 857068-21-4P
857068-22-5P 857068-23-6P 857068-24-7P
857068-25-8P 857068-26-9P 857068-27-0P
857068-28-1P 857068-29-2P 857068-30-5P
857068-31-6P 857068-32-7P 857068-33-8P
857068-34-9P 857068-35-0P 857068-36-1P
857068-37-2P 857068-38-3P 857068-39-4P
857068-40-7P 857068-41-8P 857068-42-9P
857068-43-0P 857068-44-1P 857068-45-2P
857068-46-3P 857068-57-6P 857068-58-7P
857068-59-8P 857068-60-1P 857068-62-3P
857068-63-4P 857068-64-5P 857068-65-6P
857068-66-7P 857068-67-8P 857068-68-9P
857068-70-3P 857068-71-4P 857068-72-5P
857068-81-6P 857068-88-3P 857068-94-1P
857068-97-4P 857068-98-5P 857069-06-8P
857069-17-1P 857069-18-2P 857069-25-1P
857069-26-2P 857069-29-5P 857069-37-5P
857069-38-6P 857069-41-1P 857069-43-3P
857069-44-4P 857069-47-7P 857069-48-8P
857069-50-2P 857069-51-3P 857069-54-6P
857069-55-7P 857069-56-8P 857069-57-9P
857069-75-1P 857069-76-2P 857069-79-5P
857069-80-8P 857069-81-9P 857069-83-1P
857069-84-2P 857069-91-1P 857069-97-7P
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857070-00-9P 857070-04-3P 857070-09-8P 857070-10-1P 857070-11-2P 857070-12-3P 857070-15-6P 857070-18-9P 857070-19-0P 857070-20-3P 857070-21-4P 857070-22-5P 857070-24-7P 857070-25-8P 857070-27-0P 857070-29-2P 857070-30-5P 857070-34-9P 857070-40-7P 857070-41-8P 857070-61-2P 857070-59-8P 857083-26-2P 857083-27-3P 857083-28-4P 857083-29-5P 857083-30-8P RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of morpholine containing benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 857066-88-7 CAPLUS

CN Benzonitrile, 4-(2-hydroxyethyl)-3-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857066-89-8 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[5-bromo-2-(3-hydroxypropyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857066-90-1 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(3-hydroxypropyl)-5-methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857066-91-2 CAPLUS

CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN

857066-92-3 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethoxy)-5-methylphenyl]amino]methyl]-2-CN [[3-(4-morpholiny1)propy1]amino]-1H-benzimidazol-1-y1]methy1]-6-methyl-(CA INDEX NAME)

RN 857066-93-4 CAPLUS

CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN

857066-94-5 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)-5-methylphenyl]amino]methyl]-2-CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

HO-CH<sub>2</sub>-CH<sub>2</sub>

$$NH-CH2$$

$$NH-CH$$

RN 857066-95-6 CAPLUS

CN 3-Pyridinol, 2-[[6-[[2-(3-hydroxypropyl)phenyl]amino]methyl]-2-[[3-(4morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

857066-96-7 CAPLUS RN

3-Pyridinol, 2-[[6-[[2-(2-hydroxyethy1)-5-methoxypheny1]amino]methy1]-2-CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN

857066-97-8 CAPLUS 3-Pyridinol, 2-[[6-[[[5-bromo-2-(2-hydroxyethyl)phenyl]amino]methyl]-2-[[3-CN (4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857066-98-9 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-[(2-hydroxyethyl)sulfonyl]-5-methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857066-99-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(3-hydroxypropyl)-5-(trifluoromethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

HO- (CH<sub>2</sub>)<sub>3</sub>

$$NH-CH2$$

$$NH-CH$$

RN 857067-00-6 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(3-hydroxypropyl)-5-methoxyphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857067-01-7 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(3-hydroxybutyl)-5-methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857067-02-8 CAPLUS

CN Benzenepropanamide, 2-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-4-methyl-(CA INDEX NAME)

$$H_2N-C-CH_2-CH_2$$
 $NH-CH_2$ 
 $NH-CH_2$ 

RN 857067-03-9 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)-5-(1-methylethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-04-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[5-fluoro-2-(2-hydroxyethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN

857067-05-1 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(3-hydroxy-1-propen-1-yl)-5-CN methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$HO-CH_2-CH$$
 $CH$ 
 $NH-CH_2$ 
 $N-CH_2$ 
 $N-CH_2$ 

RN 857067-06-2 CAPLUS

 $3- Pyridinol, \ 2- [[6-[[2-(4-hydroxybutyl)-5-methylphenyl]amino]methyl]-2- \\$ CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN

857067-07-3 CAPLUS 3-Pyridinol, 2-[[6-[[(3,5-dichlorophenyl)amino]methyl]-2-[[3-(4-CN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

C1 
$$NH-CH_2$$
  $NH-CH_2$   $N$ 

RN 857067-08-4 CAPLUS

3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)phenyl]amino]methyl]-2-[[3-(4-ydroxyethyl)phenyl]amino]methyllamino[[3-(4-ydroxyethyl)phenyl]amino[[3-(4-ydroxyetCN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

857067-09-5 CAPLUS RN

3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino[[3-(4-methylphenylamino]methylphenylamino]methylphenylamino[[3-(4-methylphenylamino]methylmino[[3-(4-methylphenylamino]methylmino[[3-(4-methyCN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Me NH- 
$$(CH_2)_3$$
 NH-  $(CH_2)_3$  NH

857067-10-8 CAPLUS RN

Benzenepropanamide, 2-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-methyl-2-pyridinyl]methyl-2-[[3-methyl-2-pyridinyl]methyl-2-[[3-methyl-2-pyridinyl]methyl-2-[3-methyl-2-pyridinCN (4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-4-(trifluoromethyl) - (CA INDEX NAME)

$$H_2N-C-CH_2-CH_2$$
 $NH-CH_2$ 
 $NH-CH_2$ 

RN 857067-11-9 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)-3-methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857067-12-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3-bromophenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-13-1 CAPLUS

CN Benzonitrile, 2-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-14-2 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[6-[[(3-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methylphenylamino]methylphenylamino[[3-(4-methylphenyl)amino]methylphenylamino[[3-(4-methylphenyl]amino]methylphenylamino[[3-(4-methylphenyl]amino[[3-(4-methylphenyl]amino]methylphenylamino[[3-(4-methylphenyl]morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN

857067-15-3 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(hydroxymethyl)phenyl]amino]methyl]-2-[[3-(4-CN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-16-4 CAPLUS

3-Pyridinol, 2-[[6-[[(3-ethynylphenyl)amino]methyl]-2-[[3-(4-inverse)amino]methyl]]CN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

HC 
$$=$$
 C  $=$  NH $=$  CH2  $=$  NH $=$  CH2  $=$  OH

RN 857067-17-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3-chlorophenyl)amino]methyl]-2-[[3-(4-interval)amino]methyl]]morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-18-6 CAPLUS

CN 3-Pyridinol, 2-[[6-[[2-(hydroxymethyl)-4-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methylphenyl]amino]methylphenyl]amino]methylphenylph(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-19-7 CAPLUS

CN Benzenepropanamide, 2-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN

857067-20-0 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(3-hydroxy-1-propyn-1-yl)-5-CN methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-21-1 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3-fluorophenyl)amino]methyl]-2-[[3-(4-fluorophenyl)amino]methylamino]methylamino[[3-(4-fluorophenyl)amino]methylamino[[3-(4-fluorophenyl)amino]methylamino[[3-(4-fluorophenyl)amino]methylamino[[3-(4-fluorophenyl)amino]methylamino[[3-(4-fluorophenyl)amino]methylamino[[3-(4-fluorophenyl)amino]methylamino[[3-(4-fluorophenyl)amino]methylamino[[3-(4-fluorophenyl)amino[[3-(4-fluorophenyl)amino]methylamino[[3-(4-fluorophenyl)amino[[3-(4-fluorophenyl)amino[[3-(4-fluorophenyl)amino[[3-(4-fluorophenyl)amino[[3-(4-fluorophenyl)amino[[3-(4-fluorophenyl)morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

857067-22-2 CAPLUS 3-Pyridinol, 6-methyl-2-[[2-[[3-(4-morpholinyl)propyl]amino]-6-[[[3-CN (trifluoromethoxy)phenyl]amino]methyl]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN 857067-23-3 CAPLUS

Benzenesulfonamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-CN (4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-24-4 CAPLUS

CN Benzamide, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-2-pyridinyl)methyl-2-[[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methyl-2-[3-(4-methylmorpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-25-5 CAPLUS

3-Pyridinol, 2-[[6-[[[2-[(2-hydroxyethyl)amino]-5-CN methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

857067-26-6 CAPLUS RN

3-Pyridinol, 2-[[6-[(2,5-dimethylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino[[3-(4-methylphenylamino]methylphenCN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Me NH- 
$$CH_2$$
 N-  $CH_2$  N-  $CH_2$ 

RN

857067-27-7 CAPLUS 3-Pyridinol, 2-[[6-[[(2-ethylphenyl)amino]methyl]-2-[[3-(4-CN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-28-8 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[6-[[[2-[2-(4-morpholinyl)ethyl]phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN 857067-29-9 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(hydroxymethyl)-3-methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-30-2 CAPLUS

CN Benzamide, 4-(2-hydroxyethyl)-3-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

$$HO-CH_2-CH_2$$
 $NH-CH_2$ 
 $NH-CH_2$ 

RN 857067-31-3 CAPLUS

CN Benzamide, 2-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-32-4 CAPLUS

CN Benzenepropanenitrile, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-3-methyl- (CA INDEX NAME)

RN 857067-33-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[3-(2-hydroxyethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-34-6 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethy1)-5-(methylsulfony1)pheny1]amino]methyl]-2-[[3-(4-morpholiny1)propy1]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$HO-CH_2-CH_2$$
 $NH-CH_2$ 
 $NH-CH_2$ 

RN 857067-35-7 CAPLUS

CN Benzenepropanenitrile, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-3,5-dimethyl- (CA INDEX NAME)

RN 857067-36-8 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-iodo-5-methylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-37-9 CAPLUS

CN 3-Pyridinol, 2-[[5-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methyl]-2-[[3-(4-methylphenyl)amino]methylphenyl]-2-[[3-(4-methylphenyl)amino]methylphenymorpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

857067-40-4 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethy1)-5-(3-thienyl)phenyl]amino]methyl]-CN 2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

Me OH 
$$CH_2-CH_2-OH$$
  $NH-CH_2$   $NH-$ 

RN 857067-41-5 CAPLUS

3-Pyridinol, 2-[[6-[[5-(3-furanyl)-2-(2-hydroxyethyl)phenyl]amino]methyl]-CN 2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857067-42-6 CAPLUS

3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)-5-(2-thienyl)phenyl]amino]methyl]-CN 2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} \\ & \text{OH} \\ & \text{CH}_2\text{--}\text{CH}_2\text{--}\text{OH} \\ & \text{NH}\text{--}\text{CH}_2 \\ & \text{NH}\text{--}\text{CH$$

857067-43-7 CAPLUS RN

3-Pyridinol, 2-[[6-[[5-(2-furany1)-2-(2-hydroxyethy1)pheny1]amino]methy1]-CN  $2-[[3-(4-morpholinyl)propyl]amino]-1\\H-benzimidazol-1-yl]methyl]-6-methyl-1$ (CA INDEX NAME)

Me OH 
$$CH_2-CH_2-OH$$
  $CH_2$   $NH-CH_2$   $NH-CH_$ 

RN

857067-44-8 CAPLUS 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxyethyl)amino]methyl]-2-CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

Me HO 
$$\sim$$
 N  $\sim$  N  $\sim$  CH2  $\sim$  NH- (CH2) 3  $\sim$  N

RN 857067-45-9 CAPLUS

Butanamide, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

RN 857067-46-0 CAPLUS

CN Propanamide, 3-[(3,5-dimethylphenyl)][[1-[(3-hydroxy-6-methyl-2pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

RN

857067-47-1 CAPLUS 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(4-hydroxybutyl)amino]methyl]-2-CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

Me HO 
$$\sim$$
 N  $\sim$  NH  $\sim$  CH2  $\sim$  NH  $\sim$  CH2 NH  $\sim$  CH2 NH  $\sim$  CH2 NH  $\sim$  NH

RN 857067-48-2 CAPLUS

CN Butanoic acid, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-49-3 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(3-hydroxypropyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857067-50-6 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(5-hydroxypentyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857067-51-7 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)[2-(4-morpholinyl)ethyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Me NH- (CH<sub>2</sub>) 3 N NH- (CH<sub>2</sub>) 3 N OH CH<sub>2</sub>

$$\begin{array}{c} \text{CH}_2 \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{N} \end{array}$$

RN 857067-52-8 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-aminoethyl)(3,5-dimethylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Me HO N N 
$$CH_2-CH_2-NH_2$$
  $N$  NH-  $(CH_2)_3-N$  NMe

RN 857067-53-9 CAPLUS

CN Phosphoric acid, 2-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]ethyl diethyl ester (CA INDEX NAME)

RN 857067-54-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)[3-(4-morpholinyl)propyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Me NH— 
$$(CH_2)_3$$
 N  $CH_2$  N  $CH_2$  N  $CH_2$  OH

RN 857067-55-1 CAPLUS

CN  $\beta$ -Alanine, N-(3,5-dimethylphenyl)-N-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]- (CA INDEX NAME)

Me 
$$HO$$
  $N$   $N$   $CH_2-CH_2-CO_2H$   $N$   $NH-(CH_2)_3-N$   $N$ 

RN 857067-56-2 CAPLUS

CN Phosphonic acid, [2-[(3,5-dimethylphenyl)][1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]ethyl]-, diethyl ester (9CI) (CA INDEX NAME)

RN 857067-57-3 CAPLUS

CN Butanoic acid, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-, ethyl ester (CA INDEX NAME)

RN 857067-58-4 CAPLUS

CN  $\beta$ -Alanine, N-(3,5-dimethylphenyl)-N-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-, ethyl ester (CA INDEX NAME)

RN 857067-59-5 CAPLUS

CN 1-Propanesulfonamide, 3-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-60-8 CAPLUS

CN 1-Butanesulfonamide, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-61-9 CAPLUS

CN Pentanamide, 5-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-62-0 CAPLUS

CN 1-Propanesulfonamide, 3-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-N-methyl- (CA INDEX NAME)

RN 857067-63-1 CAPLUS

CN Butanamide, 4-[(3,5-dimethylphenyl)][[1-[(3-hydroxy-6-methyl-2pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]-N-methyl- (CA INDEX NAME)

Me O HO N N 
$$CH_2$$
  $CH_2$   $N-CH_2$   $N-$ 

RN 857067-64-2 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxy-3methylbutyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Me OH HO 
$$\sim$$
 N  $\sim$  CH2  $\sim$  CH2  $\sim$  NH- (CH2)3  $\sim$  N

RN

857067-65-3 CAPLUS 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)]2-(2-CN  $\label{lem:hydroxyethoxy} \verb| hydroxyethoxy| ethyl] = 2 - [[3 - (4 - morpholinyl) propyl] \\ amino] - 1 \\ H-1 + (4 - morpholinyl) propyl] \\ amino] - 1 \\ H-1 + (4 - morpholinyl) \\ amino] - 1 \\ H-1 + (4 - morpholiny$ benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

857067-66-4 CAPLUS RN

3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxy-3-CN phenoxypropyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

857067-67-5 CAPLUS RN

3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(1H-imidazol-5-CN ylmethyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-y1]methy1]-6-methy1- (CA INDEX NAME)

Me 
$$NH$$
 $CH_2$ 
 $NH$ 
 $NH$ 
 $CH_2$ 
 $N$ 
 $NH$ 
 $CH_2$ 
 $N$ 
 $NH$ 
 $NH$ 
 $CH_2$ 
 $NH$ 
 $N$ 

RN

857067-68-6 CAPLUS 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-methoxyethyl)amino]methyl]-2-CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

Me HO N N 
$$CH_2-CH_2-OMe$$
 NH-  $(CH_2)_3-N$  NH-  $(CH_2)_3-N$ 

RN 857067-69-7 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxy-3-phenylpropyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:?) (CA INDEX NAME)

## •x HCl

RN 857067-70-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxy-3,3-dimethylbutyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Me OH HO 
$$\sim$$
 N  $\sim$  CH2  $\sim$  CH2  $\sim$  NH— (CH2)3  $\sim$  N

RN 857067-71-1 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3-bromophenyl)(2-hydroxyethyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 857067-72-2 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)(3-methylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-73-3 CAPLUS

CN Butanamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3-methylphenyl)amino]- (CA INDEX NAME)

RN 857067-74-4 CAPLUS

CN Benzonitrile, 4-[(2-hydroxyethyl)][[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

NC 
$$CH_2-CH_2-OH$$
  $N$   $NH-(CH_2)_3-N$ 

RN 857067-75-5 CAPLUS

CN Propanamide, 3-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3-methylphenyl)amino]- (CA INDEX NAME)

RN 857067-76-6 CAPLUS

CN Butanamide, 4-[(3-bromophenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-(CA INDEX NAME)

RN 857067-77-7 CAPLUS

CN 1-Butanesulfonamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3-methylphenyl)amino]- (CA INDEX NAME)

Me 
$$H_2N-S-(CH_2)$$
 4  $CH_2$   $N$   $NH-(CH_2)$  3  $N$ 

RN 857067-78-8 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(4-hydroxybutyl)(3-methylphenyl)amino]methyl]-2-[[3-methylphenyl)amino]methyl]-2-[[3-methylphenyl)amino]methyl]-2-[[3-methylphenyl)amino]methyl]-2-[[3-methylphenyl)amino]methyl]-2-[[3-methylphenyl)amino]methyl]-2-[[3-methylphenyl)amino]methyl]-2-[[3-methylphenyl)amino]methyl]-2-[[3-methylphenyl)amino]methyl]-2-[[3-methylphenyl)amino]methyl]-2-[[3-methylphenyl)amino]methyl]-2-[[3-methylphenyl)amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methyl]-2-[[3-methylphenyl]amino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino]methylphenylamino[[3-methylphenylamino]methylphenylamino[[3-methylphenylamino]methylphenylamino[[3-methylphenylamino]methylphenylamino[[3-methylphenylamino]methylphenylamino[[3-methylphenylamino]methylphenylamino[[3-methylphenylamino]methylphenylamino[[3-methylphenylamino]methylphenylamino[[3-methylphenylamino]methylphenylamino[[3-methylphenylamino]methylphenylamino[[3-methylphenylamino]methylphenylamino[[3-methylphenylamino]methylphenylamino[[3-methylphenylamino]methylphenylamino[[3-methylphenylamino[[3-methylphenylamino]methylphenylamino[[3-methylphenylamino[[3-methylphenylamino]methylphenylamino[[3-me(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\$$

RN857067-79-9 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3-hydroxypropyl)(3-methylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

857067-80-2 CAPLUS 3-Pyridinol, 6-methyl-2-[[6-[[(3-methylphenyl)]2-(4-CN morpholinyl)ethyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN 857067-81-3 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3-bromophenyl)(3-hydroxypropyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & \\ & & \\ &$$

RN 857067-82-4 CAPLUS

CN Butanamide, 4-[(4-cyanophenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-(CA INDEX NAME)

NC 
$$(CH_2)_3 - C - NH_2$$
  $NH - (CH_2)_3 - N$ 

RN 857067-83-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)phenylamino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-84-6 CAPLUS

CN 1-Propanesulfonamide, 3-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3-methylphenyl)amino]-N-methyl- (CA INDEX NAME)

RN 857067-85-7 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3-ethynylphenyl)(2-hydroxyethyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{N} \\ & \text{OH} \\ \\ \text{HC} = \text{C} \\ & \text{N} \\ & \text{N} \\ & \text{CH}_2 \\ & \text{N} \\ & \text{NH} \\ & \text{CH}_2) \ 3 \\ & \text{N} \\ & \text{O} \\ \end{array}$$

RN 857067-86-8 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(dimethylamino)ethyl](3-methylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

Me

$$CH_2-CH_2-NMe_2$$
 $N-CH_2$ 
 $N$ 

RN 857067-87-9 CAPLUS

CN Acetamide, 2-[[2-(2-hydroxyethyl)phenyl][[1-[(3-hydroxy-6-methyl-2pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

857067-88-0 CAPLUS RN

Butanoic acid, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-methyl-2-pyridinyl)methyl]]CN morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3methylphenyl)amino]-, methyl ester (CA INDEX NAME)

RN

857067-89-1 CAPLUS 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)phenyl]][2-(4-CN morpholinyl)ethyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-90-4 CAPLUS

Propanamide, 3-[[3-(2-hydroxyethyl)phenyl][[1-[(3-hydroxy-6-methyl-2-methCN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

857067-91-5 CAPLUS RN

Benzamide, 4-[(2-hydroxyethyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-CN  $2-[[3-(4-morpholinyl)propyl]amino]-1\\H-benzimidazol-6-yl]methyl]-1\\H-benzimidazol-6-yl]methyl]-1\\H-benzimidazol-6-yl]methyl]-1\\H-benzimidazol-6-yl]methyl]-1\\H-benzimidazol-6-yl]methyl]-1\\H-benzimidazol-6-yl]methyllamino]-1\\H-benzimidazol-6-yl]methyllamino]-1\\H-benzimidazol-6-yl]methyllamino]-1\\H-benzimidazol-6-yl]methyllamino]-1\\H-benzimidazol-6-yl]methyllamino]-1\\H-benzimidazol-6-yl]methyllamino]-1\\H-benzimidazol-6-yl]methyllamino]-1\\H-benzimidazol-6-yl]methyllamino]-1\\H-benzimidazol-6-yl]methyllamino]-1\\H-benzimidazol-6-yl]methyllamino]-1\\H-benzimidazol-6-yl]methyllamino]-1\\H-benzimidazol-6-yl]methyllamino]-1\\H-benzimidazol-6-yl]m$ (CA INDEX NAME)

RN

857067-92-6 CAPLUS 3-Pyridinol, 2-[[6-[[(4-hydroxyphenyl)phenylamino]methyl]-2-[[3-(4-CN morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-93-7 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)[2-(2-hydroxyethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-94-8 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(aminomethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857067-95-9 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3-hydroxyphenyl)phenylamino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

HO 
$$\sim$$
 N  $\sim$  NHO  $\sim$ 

RN 857067-96-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)(2-methylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

HO 
$$\sim$$
 N  $\sim$  N  $\sim$  NH  $\sim$  CH2  $\sim$  NH  $\sim$  CH2  $\sim$  NH  $\sim$  CH2  $\sim$  NH  $\sim$  NH  $\sim$  CH2  $\sim$  NH  $\sim$  NH  $\sim$  CH2  $\sim$  NH  $\sim$ 

RN 857067-97-1 CAPLUS

CN Benzamide, 2-[(2-hydroxyethyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-(CA INDEX NAME)

Me OH 
$$CH_2-CH_2$$
  $N-CH_2$   $N$ 

RN 857067-98-2 CAPLUS

CN 1-Propanesulfonamide, 3-[(3-ethynylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857067-99-3 CAPLUS

Propanamide, 3-[(3-bromophenyl)[[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

RN857068-00-9 CAPLUS

Butanamide, 4-[(3-ethynylphenyl)][[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

Me
N
OH
$$CH_2$$
 $H_2N-C-(CH_2)_3$ 
 $N-CH_2$ 
 $N-CH$ 

RN

857068-01-0 CAPLUS Propanamide, 3-[(3-ethynylphenyl)[[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{OH} \\ \text{H2N-C-CH}_2 \\ \text{HC} \\ \hline \end{array} \begin{array}{c} \text{CH}_2 \\ \text{N} \\ \text{CH}_2 \\ \text{N} \\ \text{NH-(CH}_2)_3 \\ \text{N} \\ \end{array} \begin{array}{c} \text{N} \\ \text{CH}_2 \\ \text{N} \\ \text{NH-(CH}_2)_3 \\ \text{N} \\ \text{NH-(CH}_2)_3 \\$$

RN 857068-02-1 CAPLUS

Butanoic acid, 4-[(3-ethynylphenyl)[[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} \\ & \text{OH} \\ & \text{CH}_2 \\ & \text{HC} = \text{C} \\ & \text{N-CH}_2 \\$$

RN857068-03-2 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3-bromophenyl)(4-hydroxybutyl)amino]methyl]-2-[[3-(4-hydroxybutyl)amino]methyllamino]methyllamino[[3-(4-hydroxybutyl)amino]methyllamino[[3-(4-hydroxybutyl)amino]methyllamino[[3-(4-hydroxybutyl)amino]methyllamino[[3-(4-hydroxybutyl)amino]methyllamino[[3-(4-hydroxybutyl)amino]methyllamino[[3-(4-hydroxybutyl)amino]methyllamino[[3-(4-hydroxybutyl)amino]methyllamino[[3-(4-hydroxybutyl)amino]methyllamino[[3-(4-hydroxybutyl)amino[[3-(4-hydroxybutyl)amino]methyllamino[[3-(4-hydroxybutyl)amino[[3-(4-hydroxybutyl)amino[[3-(4-hydroxybutyl)amino[[3-(4-hydroxybutyl)amino[[3-(4-hydroxybutyl)amino[[3-(4-hydroxybutyl)amino[[3-(4-hydroxybutyl)amino[[3-(4-hydroxybutyl)amino[[3-(4-hydroxybutyl)amino[[3-(4-hydroxybutyl)amino[[3-(4-hydmorpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

857068-04-3 CAPLUS
Propanamide, 3-[(4-cyanophenyl)[[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

$$Me$$

$$H_2N-C-CH_2-CH_2$$

$$NC$$

$$N-CH_2$$

$$NH-(CH_2)_3$$

RN 857068-05-4 CAPLUS

Benzonitrile, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]]-2-[[3-(4-methyl-2-pyridinyl)methyl-2-pyridinyl]methyl-2-[3-(4-methyl-2-pyridinyl)methyl-2-[3-(4-methyl-2-pyridinyl)methyl-2-[3-(4-methyl-2-pyridinyl)methyl-2-[3-(4-methyl-2-pyridinyl)methyl-2-[3-(4-methyl-2-pyridinyl)methyl-2-[3-(4-methyl-2-pyridinyl)methyl-2-[3-(4-methyl-2-pyridinyl)methyl-2-[3-(4-methyl-2-pyridinyl)methyl-2-[3-(4-methyl-2-pyridinyl)methyl-2-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4-methyl-2-pyridinyl)methyl-3-[3-(4CN morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3hydroxypropyl)amino] - (CA INDEX NAME)

NC (CH<sub>2</sub>)<sub>3</sub>-OH 
$$\sim$$
 NH- (CH<sub>2</sub>)<sub>3</sub>-N

RN857068-06-5 CAPLUS

Benzenesulfonamide, 4-[(2-hydroxyethyl)][1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

RN

857068-07-6 CAPLUS 3-Pyridinol, 2-[[6-[[(3-fluorophenyl)(2-hydroxyethyl)amino]methyl]-2-[[3-CN (4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857068-08-7 CAPLUS

CN (4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

857068-09-8 CAPLUS RN

Butanamide, 4-[(3,5-dichlorophenyl)][[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]amino]- (CA INDEX NAME)

C1 
$$CH_2$$
)  $3-C-NH_2$   $NH-(CH_2)$   $3-N$ 

RN

 $\begin{array}{lll} 857068-10-1 & \text{CAPLUS} \\ 3-\text{Pyridinol,} & 2-[[6-[[(3,5-\text{dichlorophenyl})(4-\text{hydroxybutyl})\text{amino}]\text{methyl}]-2-\\ \end{array}$ CN [[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857068-11-2 CAPLUS

CN Propanamide, 3-[(2,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857068-12-3 CAPLUS

CN Butanamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl][2-methyl-5-(1-methylethyl)phenyl]amino]-, hydrochloride (1:?) (CA INDEX NAME)

●x HCl

RN 857068-13-4 CAPLUS

CN Butanamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](2,3,5-

trimethylphenyl)amino]- (CA INDEX NAME)

RN 857068-14-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2,5-dimethylphenyl)(2-hydroxyethyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857068-15-6 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)[2-methyl-5-(1-methylethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

i-Pr 
$$CH_2-CH_2-OH$$
  $N$   $N+-(CH_2)_3-N$   $N+-(CH_2)_3-N$ 

RN 857068-16-7 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)(2,3,5-trimethylphenyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-

## (CA INDEX NAME)

RN 857068-17-8 CAPLUS

CN Butanamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-3-quinolinylamino]-(CA INDEX NAME)

$$H_2N-C-(CH_2)_3$$
 $N$ 
 $N+CH_2$ 
 $N-CH_2$ 
 $N-CH_2$ 
 $N-CH_2$ 
 $N-CH_2$ 
 $N-CH_2$ 
 $N-CH_2$ 
 $N-CH_2$ 
 $N-CH_2$ 
 $N-CH_2$ 

RN 857068-18-9 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)-3-quinolinylamino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857068-19-0 CAPLUS

CN Butanamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2-pyrimidinylamino]-(CA INDEX NAME)

RN 857068-20-3 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)-2-pyrimidinylamino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857068-21-4 CAPLUS

CN Butanamide, 4-[(1-ethyl-1H-pyrazol-5-yl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857068-22-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(1-ethyl-1H-pyrazol-5-yl)(2-hydroxyethyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857068-23-6 CAPLUS

CN Butanamide, 4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-4-pyridinylamino]-(CA INDEX NAME)

RN 857068-24-7 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(2-hydroxyethyl)(5-methyl-3-isoxazolyl)amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857068-25-8 CAPLUS

CN Butanoic acid, 4-[[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-3,5-dimethylphenyl]amino]-, ethyl ester (CA INDEX NAME)

RN 857068-26-9 CAPLUS

CN 3-Pyridinol, 2-[[6-[[4-[(2-hydroxyethyl)amino]-3-methylphenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857068-27-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[4-[(2-hydroxyethyl)amino]-3-methoxyphenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857068-28-1 CAPLUS

CN 3-Pyridinol, 2-[[6-[[4-[(4-hydroxybutyl)amino]-2-methylphenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857068-29-2 CAPLUS

CN 3-Pyridinol, 2-[[6-[[4-[(2-hydroxyethyl)amino]-2,6-dimethylphenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

HO 
$$\sim$$
 CH2  $\sim$  NH  $\sim$  NH  $\sim$  CH2  $\sim$  NH  $\sim$  NH  $\sim$  CH2  $\sim$  NH  $\sim$ 

RN 857068-30-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[2,6-dimethyl-4-[[2-(4-morpholinyl)ethyl]amino]phenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857068-31-6 CAPLUS

3-Pyridinol, 2-[[6-[[4-[[2-(dimethylamino)ethyl]amino]-2,6-CN dimethylphenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

857068-32-7 CAPLUS RN

Benzoic acid, 2-[(2-hydroxyethyl)amino]-5-[[1-[(3-hydroxy-6-methyl-2-CN pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6yl]methyl]-, ethyl ester (CA INDEX NAME)

RN

857068-33-8 CAPLUS 3-Pyridinol, 2-[[6-[[4-[(4-hydroxybutyl)amino]-2,6-dimethylphenyl]methyl]-CN 2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:?) (CA INDEX NAME)

HO (CH<sub>2</sub>) 
$$_4$$
 NH Me CH<sub>2</sub> NH (CH<sub>2</sub>)  $_3$  NH (CH<sub>2</sub>)  $_3$  N

●x HCl

RN 857068-34-9 CAPLUS

CN 1-Propanesulfonamide, 3-[[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-3,5-dimethylphenyl]amino]-, hydrochloride (1:?) (CA INDEX NAME)

$$H_2N-S-(CH_2)_3-NH$$
 $O$ 
 $CH_2$ 
 $N$ 
 $NH-(CH_2)_3-NH$ 
 $Me$ 
 $NH-(CH_2)_3-NH$ 
 $Me$ 

•x HCl

RN 857068-35-0 CAPLUS

CN 3-Pyridinol, 2-[[6-[[4-[[1-(hydroxymethyl)propyl]amino]-2,6-dimethylphenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:?) (CA INDEX NAME)

$$HO-CH_2$$
 $Et-CH-NH$ 
 $Me$ 
 $CH_2$ 
 $N$ 
 $NH-(CH_2)_3-N$ 
 $NH$ 

●x HCl

RN 857068-36-1 CAPLUS

CN Propanamide, 3-[[4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]-2,5-dimethylphenyl]amino]- (CA INDEX NAME)

RN 857068-37-2 CAPLUS

CN 3-Pyridinol, 2-[[6-[[4-[(2-hydroxyethyl)amino]-2,5-dimethylphenyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857068-38-3 CAPLUS

CN 3-Pyridinol, 2-[[6-[[1-ethyl-5-[(2-hydroxyethyl)amino]-1H-pyrazol-4-yl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857068-39-4 CAPLUS

CN 3-Pyridinol, 2-[[6-[(2,3-dihydro-6-methyl-1H-indol-1-yl)methyl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl)methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl)methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[3-(4-dihydro-6-methyl-1H-indol-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydro-6-methyl-1-yl]-2-[3-(4-dihydrmorpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN

857068-40-7 CAPLUS 3-Pyridinol, 2-[[4,6-dimethyl-2-[[3-(4-morpholinyl)propyl]amino]-1H-CN benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857068-41-8 CAPLUS

 $\hbox{3-Pyridinol, 6-methyl-2-[[2-[[3-(4-morpholinyl)propyl]amino]-1H-} \\$ CN benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN 857068-42-9 CAPLUS CN 3-Pyridinol, 2-[[5,7-dimethyl-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 857068-43-0 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-N-[2-(3-hydroxypropyl)-5-methylphenyl]-2-[[3-(4-morpholinyl)propyl]amino]-(CA INDEX NAME)

RN 857068-44-1 CAPLUS

CN 1H-Benzimidazole-5-carboxamide, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-N-(3-methylphenyl)-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

Me NH- 
$$C$$
 NH-  $C$  NH

RN 857068-45-2 CAPLUS

CN 1H-Benzimidazole-6-carboxamide, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-N-(3-methylphenyl)-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857068-46-3 CAPLUS

1H-Benzimidazole-6-carboxamide, N-(3,5-dimethylphenyl)-1-[(3-hydroxy-6-mid-1)]-1-[(3-hydroxy-6-mi CN methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

857068-57-6 CAPLUS RN

4-Morpholineethanol,  $\alpha$ -[[[6-[[(3,5-dimethylphenyl)amino]methyl]-1-CN [(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2yl]amino]methyl]- (CA INDEX NAME)

RN

857068-58-7 CAPLUS 3-Pyridinol, 2-[[2-[[3-[(2R,6S)-2,6-dimethyl-4-morpholinyl]propyl]amino]-6-CN [[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 857068-59-8 CAPLUS

CN 3-Pyridinol, 2-[[2-[[3-[(2R,6R)-2,6-dimethyl-4-morpholinyl]propyl]amino]-6-[[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 857068-60-1 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(tetrahydro-1,4-oxazepin-4(5H)-yl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

Me NH— 
$$CH_2$$
 NH—  $CH_2$  NH—  $CH_2$  NH—  $CH_2$  OH

RN 857068-62-3 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[2-[[2-(4-morpholinyl)ethyl]amino]-1H-

benzimidazol-1-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH-CH}_2\text{-CH}_2 \\ \hline N & \text{CH}_2 \\ \\ \text{Me} & \begin{array}{c} N \\ \end{array} & \text{OH} \end{array}$$

RN 857068-63-4 CAPLUS

CN 1H-Benzimidazole-6-methanol, 2-[[3-[(2R,6R)-2,6-dimethyl-4-morpholinyl]propyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{Me} \\ \end{array}$$

RN 857068-64-5 CAPLUS

CN Butanamide, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[2-hydroxy-3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-, hydrochloride (1:4) (CA INDEX NAME)

RN 857068-65-6 CAPLUS

CN 3-Pyridinol, 2-[[6-[[(3,5-dimethylphenyl)(2-hydroxyethyl)amino]methyl]-2-[[3-(4-thiomorpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

RN 857068-66-7 CAPLUS

CN 4-Morpholineethanol,  $\alpha-[[[6-[[(3-bromophenyl)(2-hydroxyethyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]methyl]-, hydrochloride (1:?) (CA INDEX NAME)$ 

●x HCl

RN 857068-67-8 CAPLUS

CN 2-Morpholineethanol, 4-[3-[[6-[[(3,5-dimethylphenyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]propyl]-(CA INDEX NAME)

Me NH- 
$$CH_2$$
 NH-  $CH_2$  OH OH

RN 857068-68-9 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-thiomorpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH}_2)_3 & N \\ \hline N & \text{CH}_2 \\ \hline N & \text{OH} \\ \end{array}$$

RN 857068-70-3 CAPLUS

CN 2-Morpholineethanol, 4-[3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-1H-benzimidazol-2-yl]amino]propyl]- (CA INDEX NAME)

Me NH- 
$$(CH_2)_3$$
 NH-  $CH_2$  OH  $CH_2$  OH

RN 857068-71-4 CAPLUS

CN 2-Morpholineacetamide, 4-[3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-1H-benzimidazol-2-yl]amino]propyl]- (CA INDEX NAME)

Me NH- (CH<sub>2</sub>)<sub>3</sub> N 
$$CH_2$$
- C- NH<sub>2</sub>
Me OH

RN 857068-72-5 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(2-hydroxyethyl)-5-methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

HO-CH<sub>2</sub>-CH<sub>2</sub>

$$NH-CH2-CH2$$

$$NH-CH2-CH2$$

$$NH-CH2-CH2$$

$$NH-CH2-CH2-NH-(CH2)3-NH-(CH2)-(CH2)3-NH-(CH2)-(CH2)-(CH2)-(CH2)-(CH2)-(CH2)-(CH2)-(CH2)-(CH2)-(CH2)-(CH2)-(CH2)-(CH2)-(CH2)-(CH2)-(CH2)-(CH$$

RN 857068-81-6 CAPLUS

CN Benzonitrile, 4-(2-hydroxyethyl)-3-[[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

HO- 
$$CH_2$$
  $CH_2$   $NH- CH_2$   $NH- (CH_2)_3$   $N$   $N$ 

RN 857068-88-3 CAPLUS

CN Butanamide, 4-[(3,5-dimethylphenyl)][[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857068-94-1 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(3-hydroxypropy1)-5-(trifluoromethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN 857068-97-4 CAPLUS

CN Benzenepropanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-4-methyl-(CA INDEX NAME)

HO- (CH<sub>2</sub>)<sub>3</sub>

$$NH-CH2$$

$$NH-CH2$$

$$N-CH2$$

$$N-CH2$$

$$N-CH2$$

$$N-CH2$$

$$N-CH2$$

$$N-CH2$$

$$N-CH2$$

RN 857068-98-5 CAPLUS

CN Benzeneethanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-4-methyl-(CA INDEX NAME)

RN 857069-06-8 CAPLUS

CN Butanamide, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-17-1 CAPLUS

CN Benzenepropanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-18-2 CAPLUS

CN Ethanol, 2-[(3,5-dimethylphenyl)[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

Me MeO 
$$\sim$$
 N  $\sim$  N  $\sim$  CH2  $\sim$  NH $\sim$  CH2  $\sim$  NH $\sim$  NH $\sim$  CH2  $\sim$  NH $\sim$ 

RN 857069-25-1 CAPLUS

CN Benzeneethanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-6-methyl-(CA INDEX NAME)

RN 857069-26-2 CAPLUS

CN Butanamide, 4-[(3,5-dimethylphenyl)[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

Me O CH2 
$$N$$
 NHC (CH2)3-C-NH2  $N$  NH- (CH2)3-N

RN 857069-29-5 CAPLUS

CN Benzeneethanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-37-5 CAPLUS

CN Butanamide, 4-[[[1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3,5-dimethylphenyl)amino]- (CA INDEX NAME)

RN 857069-38-6 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(2,5-dimethylphenyl)-1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857069-41-1 CAPLUS

CN Benzenepropanamide, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-43-3 CAPLUS

CN Benzenepropanol, 2-[[[1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-4-methyl-(CA INDEX NAME)

$$Br$$
 $N$ 
 $CH_2$ 
 $NH-CH_2$ 
 $N$ 

RN 857069-44-4 CAPLUS

CN 1H-Benzimidazole-6-methanamine, 1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-N-[2-[2-(4-morpholinyl)ethyl]phenyl]-2-[[3-(4-morpholinyl)propyl]amino]-(CA INDEX NAME)

RN 857069-47-7 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(3,5-dimethylphenyl)-1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

Me NH- 
$$CH_2$$
 NH-  $CH_2$  N OMe Me OMe

RN 857069-48-8 CAPLUS

CN Benzenesulfonamide, 4-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-50-2 CAPLUS

CN Benzenepropanol, 4-methyl-2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

HO— (CH<sub>2</sub>) 3 CH<sub>2</sub> NH— CH<sub>2</sub> NH— (CH<sub>2</sub>) 3 NH— 
$$(CH_2)$$
 3 NH—  $(CH_2)$  3 NH—  $($ 

RN 857069-51-3 CAPLUS

CN Benzeneethanol, 4-methyl-2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-54-6 CAPLUS

CN Ethanol, 2-[[[1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl](3,5-dimethylphenyl)amino]-, hydrochloride (1:?) (CA INDEX NAME)

Me 
$$CH_2-CH_2-OH$$
  $N$   $NH-(CH_2)_3-N$   $NH-(CH_2)_3-N$ 

## ●x HCl

RN 857069-55-7 CAPLUS

CN Benzenemethanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-56-8 CAPLUS

CN Benzenemethanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-5-methyl-(CA INDEX NAME)

RN 857069-57-9 CAPLUS

CN Ethanol, 2-[(3,5-dimethylphenyl)[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

Me 
$$CH_2-CH_2-OH$$
  $N$   $NH-(CH_2)_3-N$   $N$ 

RN 857069-75-1 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(3-bromophenyl)-1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857069-76-2 CAPLUS

CN Benzenemethanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-6-methyl-(CA INDEX NAME)

Me 
$$NH-CH_2$$
  $NH-CH_2$   $N$ 

RN 857069-79-5 CAPLUS

CN 3-Pyridinol, 2-[[5-[[[2-(3-hydroxypropyl)-5-methylphenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN 857069-80-8 CAPLUS

Me

CN Benzamide, 4-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

ОН

RN 857069-81-9 CAPLUS

CN Benzamide, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-83-1 CAPLUS

CN Benzonitrile, 3-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-84-2 CAPLUS

CN Benzeneethanol, 3-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857069-91-1 CAPLUS

CN 1H-Benzimidazole-6-methanamine, 1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-N-(3-methylphenyl)-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857069-97-7 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[[3-(2-methoxyethoxy)-6-methyl-2-pyridinyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-00-9 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(2,5-dimethylphenyl)-1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-04-3 CAPLUS

CN 3-Pyridinol, 2-[[6-[[[2-(hydroxymethyl)phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN 857070-09-8 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[[3-(2-methoxyethoxy)-6-methyl-2-pyridinyl]methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$NH-(CH_2)_3-N$$
 $NH-(CH_2)_3-N$ 
 $NH-(CH_2)_3-$ 

RN 857070-10-1 CAPLUS

CN Benzeneethanol, 2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857070-11-2 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(3,5-dimethylphenyl)-1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-12-3 CAPLUS

CN Benzeneethanol, 2-methyl-6-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857070-15-6 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(3-methylphenyl)-1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$NH-CH_2$$
 $NH-CH_2$ 
 $NH-CH_2$ 
 $NH-CH_2$ 
 $NH-CH_2$ 

RN 857070-18-9 CAPLUS

CN Benzenepropanamide, 2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857070-19-0 CAPLUS

CN Benzonitrile, 3-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

$$NH-CH_2$$
 $NH-CH_2$ 
 $NH-CH_2$ 
 $NH-CH_2$ 
 $NH-CH_2$ 
 $NH-CH_2$ 

RN 857070-20-3 CAPLUS

CN Benzenesulfonamide, 4-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ &$$

RN 857070-21-4 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(3,5-dichlorophenyl)-1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} C1 & & \\ CH_2 & \\ NH-CH_2 & & \\ NM-CH_2 & & \\ NM-$$

RN 857070-22-5 CAPLUS

CN 1H-Benzimidazole-6-methanamine, 1-[(6-methyl-2-pyridinyl)methyl]-N-[2-[2-(4-morpholinyl)ethyl]phenyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-24-7 CAPLUS

CN Benzenemethanol, 2-methyl-6-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857070-25-8 CAPLUS

CN Benzenepropanol, 2-[[[1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-5-yl]methyl]amino]-4-methyl-(CA INDEX NAME)

HO— (CH<sub>2</sub>)<sub>3</sub>

$$NH-CH2$$

$$NH-CH2$$

$$N-CH2$$

$$N-CH2$$

$$N-CH2$$

$$N-CH2$$

$$N-CH2$$

RN 857070-27-0 CAPLUS

CN Benzenepropanol, 4-methyl-2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-5-yl]methyl]amino]- (CA INDEX NAME)

RN 857070-29-2 CAPLUS

CN Benzamide, 4-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857070-30-5 CAPLUS

CN Benzeneethanol, 3-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

$$HO-CH_2-CH_2$$
 $NH-CH_2$ 
 $NH-CH_2$ 
 $NH-CH_2$ 

RN 857070-34-9 CAPLUS

CN Benzamide, 2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX

RN 857070-40-7 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-41-8 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857070-43-0 CAPLUS

CN Benzenepropanol, 2-[[[1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-5-yl]methyl]amino]-4-methyl-(CA INDEX NAME)

HO- (CH<sub>2</sub>) 3
$$NH-CH_{2}$$

$$N-CH_{2}$$

$$N-CH_{2}$$

$$N-CH_{2}$$

$$N-CH_{2}$$

RN 857070-59-8 CAPLUS

CN 1H-Benzimidazole-6-methanamine, N-(3-bromophenyl)-1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$NH-CH_2$$
 $NH-CH_2$ 
 $NH-CH_2$ 
 $NH-CH_2$ 
 $NH-CH_2$ 
 $NH-CH_2$ 

RN 857070-60-1 CAPLUS

CN Benzenemethanol, 2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

RN 857070-61-2 CAPLUS

CN Benzenemethanol, 5-methyl-2-[[[1-[(6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH-CH}_2 \\ \text{NH-CH}_2 \\ \text{NH-(CH}_2)_3 \\ \text{NH-(CH}$$

RN 857071-23-9 CAPLUS

CN Butanamide, 4-[(3,5-dimethylphenyl)[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[2-hydroxy-3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]- (CA INDEX NAME)

Me O OH 
$$CH_2$$
 OH  $CH_2$  OH  $CH_2$ 

RN 857083-26-2 CAPLUS

CN 3-Pyridinol, 2-[[5-[[(3,5-dimethylphenyl)amino]methyl]-2-[[3-(tetrahydro-1,4-oxazepin-4(5H)-yl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-(CA INDEX NAME)

Me NH- 
$$CH_2$$
 NH-  $(CH_2)_3$  NH-  $CH_2$  NH-  $CH_2$  NH-  $CH_2$  OH

RN 857083-27-3 CAPLUS

CN 3-Pyridinol, 2-[[2-[[3-[(2R,6R)-2,6-dimethyl-4-morpholinyl]propyl]amino]-5-[[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 857083-28-4 CAPLUS

CN 3-Pyridinol, 2-[[2-[[3-[(2R,6S)-2,6-dimethyl-4-morpholinyl]propyl]amino]-5-[[(3,5-dimethylphenyl)amino]methyl]-1H-benzimidazol-1-yl]methyl]-6-methyl-, rel- (CA INDEX NAME)

 ${\tt Relative \ stereochemistry.}$ 

Me Me N Me 
$$(CH_2)_3$$
  $N$  Me Me  $(CH_2)_3$   $N$  Me

RN 857083-29-5 CAPLUS

CN 1H-Benzimidazole-5-methanol, 2-[[3-[(2R,6R)-2,6-dimethyl-4-morpholinyl]propyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 857083-30-8 CAPLUS

CN 1H-Benzimidazole-5-methanol, 2-[[3-[2-(2-hydroxyethyl)-4-morpholinyl]propyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]- (CA INDEX NAME)

IT 857083-31-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of morpholine containing benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 857083-31-9 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2[[3-(4-thiomorpholinyl)propyl]amino]- (CA INDEX NAME)

IT 857071-96-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of morpholine containing benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 857071-96-6 CAPLUS

CN Carbamic acid, [2-[(3,5-dimethylphenyl)][1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]ethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

IT 857070-63-4P 857070-64-5P 857070-65-6P 857070-68-9P 857070-83-8P 857070-84-9P 857070-99-6P 857071-00-2P 857071-01-3P 857071-02-4P 857071-03-5P 857071-04-6P 857071-15-P 857071-15-P 857071-15-P 857071-17-1P 857071-19-3P 857071-32-0P 857071-34-2P 857071-43-3P 857071-46-6P 857071-60-4P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of morpholine containing benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 857070-63-4 CAPLUS

CN 1H-Benzimidazole-6-carboxylic acid,

1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

$$\begin{array}{c|c} N & NH - (CH_2)_3 - N \\ \hline N - CH_2 \\ \hline O & Me \end{array}$$

RN 857070-64-5 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-, ethyl ester (CA INDEX NAME)

RN 857070-65-6 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH}_2)_3 & N \\ \hline \\ \text{OHC} & N & \text{CH}_2 \\ \hline \\ \text{Me} & & \text{OH} \end{array}$$

RN 857070-68-9 CAPLUS

CN 3-Pyridinol, 2-[[6-(chloromethyl)-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:4) (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH2)}_3 - N \\ \hline N - \text{CH2} \\ \\ \text{Me} & OH \end{array}$$

## ● 4 HCl

RN 857070-83-8 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[6-[[[5-methyl-2-[3-[(tetrahydro-2H-pyran-2-yl)oxy]-1-propyn-1-yl]phenyl]amino]methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c} C \\ C \\ C \\ NH \\ C \\ NH \\ CH_2 \\ NH \\ OH \\ \end{array}$$

RN 857070-84-9 CAPLUS

CN 2-Propenenitrile, 3-[4-[[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]-1H-benzimidazol-6-yl]methyl]amino]-3,5-dimethylphenyl]- (CA INDEX NAME)

RN 857070-99-6 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 2-[[3-[(2R,6S)-2,6-dimethyl-4-morpholinyl]propyl]amino]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-, rel- (CA INDEX NAME)

Relative stereochemistry.

RN 857071-00-2 CAPLUS

CN 4-Morpholineethanol,  $\alpha$ -[[[6-(hydroxymethyl)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]methyl]- (CA INDEX NAME)

RN 857071-01-3 CAPLUS

CN 4-Morpholineethanol,  $\alpha$ -[[[5-(hydroxymethyl)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]methyl]- (CA INDEX NAME)

RN 857071-02-4 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[2-hydroxy-3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{OH} & \text{OH} \\ & \text{N} & \text{NH-CH}_2-\text{CH-CH}_2-\text{N} \\ & \text{OHC} & \text{N} & \text{OH} \\ & & \text{NOH} & \text{OH} \\ &$$

RN 857071-03-5 CAPLUS

CN 1H-Benzimidazole-5-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(tetrahydro-1,4-oxazepin-4(5H)-yl)propyl]amino]- (CA INDEX NAME)

$$N \rightarrow NH \rightarrow CH_2$$
 $N \rightarrow CH_2$ 
 $N \rightarrow CH_2$ 
 $N \rightarrow CH_2$ 
 $N \rightarrow CH_2$ 
 $N \rightarrow CH_2$ 

RN 857071-04-6 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(tetrahydro-1,4-oxazepin-4(5H)-yl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH-(CH_2)_3-N \\ N-CH_2 & N-CH_2 \\ Me & OH \end{array}$$

RN 857071-05-7 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(tetrahydro-1,4-oxazepin-4(5H)-yl)propyl]amino]- (CA INDEX NAME)

RN 857071-08-0 CAPLUS

CN 2-Morpholineacetic acid, 4-[3-[[6-(hydroxymethyl)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]propyl]-, ethyl ester (CA INDEX NAME)

RN 857071-09-1 CAPLUS

CN 2-Morpholineacetic acid, 4-[3-[[5-(hydroxymethyl)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]propyl]-, ethyl ester (CA INDEX NAME)

RN 857071-11-5 CAPLUS

CN 2-Morpholineacetic acid, 4-[3-[[6-(chloromethyl)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]propyl]-, ethyl ester, hydrochloride (1:1) (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH}_2)_3 & \text{N} \\ \hline N & \text{CH}_2 & \text{CH}_2 & \text{COEt} \\ \hline N & \text{OH} \\ \end{array}$$

● HCl

RN 857071-13-7 CAPLUS

CN 2-Morpholineacetic acid, 4-[3-[[6-[[(3,5-dimethylphenyl)amino]methyl]-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]propyl]-, ethyl ester (CA INDEX NAME)

Me NH- 
$$CH_2$$
 NH-  $CH_2$  NH-  $CH_2$  OH  $CH_2$  OH

RN 857071-15-9 CAPLUS

CN 2-Morpholineacetic acid, 4-[3-[[4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]propyl]-, ethyl ester (CA INDEX NAME)

RN 857071-17-1 CAPLUS

CN 2-Morpholineacetamide, 4-[3-[[4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-1H-benzimidazol-2-yl]amino]propyl]- (CA INDEX NAME)

Me NH- (CH<sub>2</sub>)<sub>3</sub> N 
$$CH_2$$
- C- NH<sub>2</sub>

Me Ph-CH<sub>2</sub>- O

RN 857071-19-3 CAPLUS

CN 4-Morpholineethanol,  $\alpha$ -[[[6-(chloromethyl)-1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]methyl]-, hydrochloride (1:4) (CA INDEX NAME)

$$\begin{array}{c|c} \text{OH} & \text{OH} \\ \text{N} & \text{NH-CH}_2-\text{CH-CH}_2 \\ \text{N-CH}_2 \\ \text{Me} & \text{OH} \\ \end{array}$$

## HC1

RN 857071-29-5 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(3-hydroxy-2-pyridiny1)methy1]-2-[[3-(4-ydroxy-2-pyridiny1)methy1]-2-[3-(4-ydroxy-2-pyridiny1)methy1]-2-[3-(4-ydroxy-2-pyridiny1)methy1]-2-[3-(4-ydroxy-2-pyridiny1)methy1]-2-[3-(4-ydroxy-2-pyridiny1)methy1]-2-[3-(4-ydroxy-2-pyridiny1)methy1]-2-[3-(4-ydroxy-2-pyridiny1)methy1]-2-[3-(4-ydroxy-2-pyridiny1)methy1]-2-[3-(4-ydroxy-2-pyridiny1)methy1]-2-[3-(4-ydroxy-2-pyridiny1)methy1]-2-[3-(4-ydroxy-2-pyridiny1)methy1]-2-[3-(4-ydroxy-2-pyridiny1)methy1]-2-[3-(4-ydroxy-2-pyridiny1)methy1]-2-[3-(4-ydrmorpholinyl)propyl]amino]- (CA INDEX NAME)

N NH- 
$$(CH_2)_3$$
 N NH-  $R$ 

857071-32-0 CAPLUS RN

1H-Benzimidazole-6-carboxaldehyde, CN 1-[(3-hydroxy-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA)INDEX NAME)

$$\begin{array}{c|c} N & NH- (CH_2)_3 - N \\ \hline N & R \end{array}$$

RN

857071-34-2 CAPLUS
3-Pyridinol, 2-[[6-(chloromethyl)-2-[[3-(4-morpholinyl)propyl]amino]-1H-CN benzimidazol-1-yl]methyl]-, hydrochloride (1:4) (CA INDEX NAME)

# ● 4 HCl

RN 857071-43-3 CAPLUS

CN 1H-Benzimidazole-6-methanol, 1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857071-46-6 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(6-bromo-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

RN 857071-48-8 CAPLUS

CN 1H-Benzimidazol-2-amine, 1-[(6-bromo-2-pyridinyl)methyl]-6-(chloromethyl)-N-[3-(4-morpholinyl)propyl]-, hydrochloride (1:4) (CA INDEX NAME)

#### ● 4 HCl

RN 857071-58-0 CAPLUS

CN 1H-Benzimidazole-6-carboxaldehyde, 1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-2-[[3-(4-morpholinyl)propyl]amino]- (CA INDEX NAME)

$$\begin{array}{c|c} N & NH- (CH_2)_3 - N \\ N - CH_2 \\ Me - OMe \end{array}$$

RN 857071-60-4 CAPLUS

CN 1H-Benzimidazol-2-amine, 6-(chloromethyl)-1-[(3-methoxy-6-methyl-2-pyridinyl)methyl]-N-[3-(4-morpholinyl)propyl]-, hydrochloride (1:4) (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH}_2)_3 - N \\ \hline N - \text{CH}_2 \\ \hline Me & \end{array} \quad \begin{array}{c} N \\ \hline \end{array}$$

## ● 4 HCl

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:564654 CAPLUS

DOCUMENT NUMBER: 143:97359

TITLE: Preparation of amino-benzimidazoles as inhibitors of

respiratory syncytial virus replication

INVENTOR(S): Bonfanti, Jean-Francois; Andries, Koenraad Jozef

Lodewijk; Janssens, Frans Eduard; Sommen, Francois Maria; Guillemont, Jerome Emile Georges; Lacrampe,

Jean Fernand Armand

PATENT ASSIGNEE(S): Tibotec Pharmaceuticals Ltd., Ire.

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	ΓENT	NO.			KIND		DATE		APPLICATION NO.						DATE		
WO 2005058870					A1	_	20050630		WO 2004-EP53617						20041220		
	W:	ΑE,	AG,	AL,	ΑM,	ΑT,	ΑU,	ΑZ,	ΒA,	BB,	ВG,	BR,	BW,	BY,	ΒZ,	CA,	CH,
		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FΙ,	GB,	GD,
		GE,	GH,	GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	KG,	KP,	KR,	KΖ,	LC,
		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,
		ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UΖ,	VC,	VN,	YU,	ZA,	ZM,	ZW
	RW:	BW,	GH,	GM,	ΚE,	LS,	MW,	MΖ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	ΑM,
		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ТJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	IS,	ΙΤ,	LT,	LU,	MC,	NL,	PL,	PT,
		RO,	SE,	SI,	SK,	TR,	BF,	ΒJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,
		MR,	ΝE,	SN,	TD,	ΤG											
					A1				AU 2004-298458					20041220			
CA					A1				CA 2004-2548666					20041220			
ΕP	P 1711485				A1	20061018			EP 2004-804953						20041220		
	R:	ΑT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	ΙΤ,	LI,	LU,	NL,	SE,	MC,	PT,
		ΙE,	SI,	LT,	LV,	FΙ,	RO,	MK,	CY,	AL,	TR,	BG,	CZ,	EE,	HU,	PL,	SK,
		,	IS,	ΥU													
CN 1894236					Α	20070110			CN 2004-80037281						20041220		
BR 2004017808					А	20070410			BR 2004-17808						20041220		
	JP 2007514718				Τ		2007		JP 2006-544464						20041220		
				C2				RU 2006-125729									
IN 2006DN02972				А				IN 2006-DN2972						20060524			
US 20090036466				A1	20090205			US 2006-596500					20060615				

MX 2006007110 20060823 MX 2006-7110 20060619 Α KR 2006118568 KR 2006-713072 20060629 Α 20061123 PRIORITY APPLN. INFO.: Α 20031218 EP 2003-104804 US 2004-567181P Р 20040430 TAT 20041220 WO 2004-EP53617

OTHER SOURCE(S): CASREACT 143:97359; MARPAT 143:97359

The title compds. [I; Q = Ar2, cycloalkyl or (un)substituted alkyl; G = a direct bond or (un)substituted alkanediyl; R1 = Ar1 or a monocyclic or bicyclic heterocycle; one of R2a and R3a = alkyl and the other one of R2a and R3a = H; in case R2a is different from hydrogen then R2b = H or alkyl, and R3b = H; in case R3a is different from hydrogen then R3b = H or alkyl, and R2b = H; or R2a, R2b, R3a and R3b all = H; R5 = H, alkyl; Ar1, Ar2 = (un)substituted Ph; and their prodrugs, N-oxides, addition salts, quaternary amines, metal complexes and stereochem. isomeric forms] having inhibitory activity on the replication of the respiratory syncytial virus, were prepared E.g., a multi-step synthesis of II, starting from (3-benzyloxy-6-methylpyridin-2-yl)methanol, was given. The exemplified compds. I were tested for activity against RSV (data given). The invention further concerns preparation of compds. I and compns. comprising them, as well as their use as a medicine.

IT 856895-07-3P 856895-14-2P 856895-16-4P 856895-18-6P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of amino-benzimidazoles as inhibitors of respiratory syncytial virus replication)

Ме

II

Ме

RN 856895-07-3 CAPLUS

CN  $\beta$ -Alanine, N-[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-1H-benzimidazol-2-yl]-, ethyl ester (CA INDEX NAME)

RN 856895-14-2 CAPLUS

CN Benzonitrile, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

RN 856895-16-4 CAPLUS

CN 2-Pyrrolidinone, 1-[3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-1H-benzimidazol-2-yl]amino]propyl]- (CA INDEX NAME)

Me NH— (CH<sub>2</sub>)<sub>3</sub>— N 
$$\sim$$
 NH— OH

RN 856895-18-6 CAPLUS

CN 3-Pyridinol, 2-[[2-[[4-(2-aminoethyl)phenyl]amino]-5,7-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

IT 856894-96-7P 856894-97-8P 856894-98-9P 856894-99-0P 856895-00-6P 856895-01-7P 856895-02-8P 856895-03-9P 856895-04-0P 856895-05-1P 856895-06-2P 856895-08-4P 856895-09-5P 856895-10-8P 856895-11-9P 856895-12-0P 856895-13-1P 856895-15-3P 856895-21-1P 856895-22-2P 856895-23-3P 856895-24-4P 856895-25-5P 856895-26-6P 856895-27-7P 856895-28-8P 856895-32-4P 856895-33-5P 856895-34-6P 856895-35-7P

856895-36-8P 856895-37-9P 856895-38-0P

856895-44-8P 856895-45-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of amino-benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 856894-96-7 CAPLUS

CN 3-Pyridinol, 2-[[4,6-dimethyl-2-[[3-(1-piperidinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856894-97-8 CAPLUS

CN 3-Pyridinol, 2-[[4,6-dimethyl-2-[[3-(1-pyrrolidinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856894-98-9 CAPLUS

CN 3-Pyridinol, 2-[[4,6-dimethyl-2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856894-99-0 CAPLUS

CN 1,2-Propanediol, 3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

856895-00-6 CAPLUS RN

CN 3-Pyridinol, 2-[[4,6-dimethyl-2-[[2-(1-methyl-2-pyrrolidinyl)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} \text{Me} & \text{Me} \\ \text{N} & \text{NH-CH}_2\text{-CH}_2 \\ \text{N-CH}_2 & \text{N-OH} \\ \end{array}$$

RN

856895-01-7 CAPLUS 3-Pyridinol, 2-[[4,6-dimethyl-2-[[2-(1-piperidinyl)ethyl]amino]-1H-CN benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH-} \text{CH}_2 \\ \text{CH}_2 \\ \text{NH-} \\ \text{OH} \\ \end{array}$$

RN 856895-02-8 CAPLUS

 $\hbox{3-Pyridinol, 2-[[2-[(3-hydroxypropyl)amino]-4,6-dimethyl-1H-benzimidazol-1-dimethyl-1-dime$ CN yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-03-9 CAPLUS

CN Propanamide, 3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-2-pyridinyl)methyl]1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} & \text{O} \\ \text{N} & \text{NH-CH}_2\text{-CH}_2\text{-C-NH}_2 \\ \text{Me} & \text{NO} & \text{Me} \end{array}$$

RN 856895-04-0 CAPLUS

CN 3-Pyridinol, 2-[[2-[[4-(aminomethyl)phenyl]amino]-4,6-dimethyl-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & \text{Me} & \\ & \text{Me} & \\ & \text{N} & \text{NH} & \\ & \text{N} & \text{CH}_2 & \\ & \text{HO} & \\ \end{array}$$

RN

856895-05-1 CAPLUS 3-Pyridinol, 2-[[2-[[3-(1H-imidazol-1-yl)propyl]amino]-4,6-dimethyl-1H-CN benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

856895-06-2 CAPLUS RN

CN 3-Pyridinol, 2-[[2-(1H-imidazol-5-yl)ethyl]amino]-4,6-dimethyl-1Hbenzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-08-4 CAPLUS

CN 3-Pyridinol, 2-[[2-[[4-(2-aminoethyl)phenyl]amino]-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{N} \\ \text{N} \\ \text{CH}_2 \\ \text{HO} \end{array}$$

RN 856895-09-5 CAPLUS

CN 3-Pyridinol, 2-[[2-[(4-aminophenyl)amino]-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-10-8 CAPLUS

CN 3-Pyridinol, 2-[[4,6-dimethyl-2-[(2-pyridinylmethyl)amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-11-9 CAPLUS

CN 3-Pyridinol, 2-[[2-[(3-methoxypropyl)amino]-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

Me NH- (CH<sub>2</sub>)<sub>3</sub>-OMe N- CH<sub>2</sub> NH- 
$$\frac{N}{N}$$
 Me

RN 856895-12-0 CAPLUS

CN 3-Pyridinol, 2-[[2-(cyclohexylamino)-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-13-1 CAPLUS

CN 3-Pyridinol, 2-[[4,6-dimethyl-2-[(2-phenylethyl)amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-15-3 CAPLUS

CN 3-Pyridinol, 2-[[2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-17-5 CAPLUS

CN Benzenesulfonamide, 4-[2-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-4,6-dimethyl-1H-benzimidazol-2-yl]amino]ethyl]- (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{N} \\ \text{NH-} \\ \text{CH}_2 \\ \text{CH}_2 \\ \text{Me} \\ \end{array}$$

RN 856895-19-7 CAPLUS

CN 3-Pyridinol, 2-[[2-[(cyclohexylmethyl)amino]-5,7-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-20-0 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[2-[[3-(1-piperidinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN 856895-21-1 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[2-[[3-(4-methyl-1-piperazinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH- (CH}_2)_3 & N \\ \hline N & \text{CH}_2 \\ \hline N & \text{OH} \end{array}$$

RN 856895-22-2 CAPLUS

CN 1,2-Propanediol, 3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

RN 856895-23-3 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[2-[[3-(1-pyrrolidinyl)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN 856895-24-4 CAPLUS

CN 3-Pyridinol, 2-[[2-(4-methoxyphenyl)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-25-5 CAPLUS

3-Pyridinol, 2-[[2-[[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]amino]-1H-final content of the second of the secondCN benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

856895-26-6 CAPLUS RN

3-Pyridinol, 2-[[2-[(5-hydroxypentyl)amino]-1H-benzimidazol-1-yl]methyl]-6-CN methyl- (CA INDEX NAME)

RN

856895-27-7 CAPLUS 3-Pyridinol, 2-[[2-[(3-hydroxypropyl)amino]-1H-benzimidazol-1-yl]methyl]-6-CN methyl- (CA INDEX NAME)

RN 856895-28-8 CAPLUS

CN 3-Pyridinol, 2-[[2-[(6-hydroxyhexyl)amino]-1H-benzimidazol-1-yl]methyl]-6methyl- (CA INDEX NAME)

RN

856895-29-9 CAPLUS 3-Pyridinol, 2-[[2-[[2-(3,4-dimethoxyphenyl)ethyl]amino]-1H-benzimidazol-1-CN yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-30-2 CAPLUS

CN 2-Pyrrolidinone, 1-[3-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]propyl]- (CA INDEX NAME)

RN 856895-31-3 CAPLUS

CN 3-Pyridinol, 6-methyl-2-[[2-[[3-(methylthio)propyl]amino]-1H-benzimidazol-1-yl]methyl]- (CA INDEX NAME)

RN 856895-32-4 CAPLUS

CN Butanoic acid, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]-, ethyl ester (CA INDEX NAME)

RN 856895-33-5 CAPLUS

CN Butanamide, 4-[[1-[(3-hydroxy-6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

RN 856895-34-6 CAPLUS

CN 3-Pyridinol, 2-[[2-[[2-(1H-indol-3-yl)ethyl]amino]-1H-benzimidazol-1yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH-CH}_2\text{-CH}_2\\ N & \text{CH}_2\\ \end{array}$$

RN

856895-35-7 CAPLUS 3-Pyridinol, 6-methyl-2-[[2-[[2-(2-pyridinyl)ethyl]amino]-1H-benzimidazol-CN 1-yl]methyl]- (CA INDEX NAME)

$$\begin{array}{c|c} N & \text{NH-CH}_2\text{-CH}_2 \\ \hline N & \text{CH}_2 \\ \hline \text{Me} & \text{OH} \end{array}$$

RN 856895-36-8 CAPLUS

 $3- Pyridinol, 2- [[2-(3-fluorophenyl)ethyl]amino]-1 \\ H-benzimidazol-1- \\ I-benzimidazol-1- \\ I-benzimi$ CN yl]methyl]-6-methyl- (CA INDEX NAME)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & & \\ & &$$

RN856895-37-9 CAPLUS CN 3-Pyridinol, 2-[[2-[[2-(4-fluorophenyl)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-38-0 CAPLUS

CN 3-Pyridinol, 2-[[2-[[2-(2-hydroxyethoxy)ethyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

RN 856895-44-8 CAPLUS

CN 3-Pyridinol, 2-[[2-[[4-(2-aminoethyl)phenyl]amino]-4,6-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, hydrochloride (1:4) (CA INDEX NAME)

$$\begin{array}{c} \text{Me} \\ \text{Me} \\ \text{N} \\ \text{N} \\ \text{N} \\ \text{CH}_2 \\ \text{HO} \end{array} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{Me} \\ \text{N} \\ \text{N}$$

## ● 4 HCl

RN 856895-45-9 CAPLUS

CN 3-Pyridinol, 2-[[2-[[4-(2-aminoethyl)phenyl]amino]-5,7-dimethyl-1H-benzimidazol-1-yl]methyl]-6-methyl-, acetate (1:1) (CA INDEX NAME)

CM 1

CRN 856895-18-6 CMF C24 H27 N5 O

$$_{\rm H_2N-CH_2-CH_2}$$

CM 2

CRN 64-19-7 CMF C2 H4 O2

IT 856895-40-4P 856895-41-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of amino-benzimidazoles as inhibitors of respiratory syncytial virus replication)

RN 856895-40-4 CAPLUS

CN 1H-Benzimidazol-2-amine, 4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]-N-[3-(1-piperidinyl)propyl]- (CA INDEX NAME)

RN 856895-41-5 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[(2,2-dimethyl-1,3-dioxolan-4-yl)methyl]-4,6-dimethyl-1-[[6-methyl-3-(phenylmethoxy)-2-pyridinyl]methyl]- (CA INDEX NAME)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 11 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:117213 CAPLUS

DOCUMENT NUMBER: 140:163868

TITLE: Preparation of acylaminoheteroarenes as upregulators

of endothelial nitric oxide synthase (eNOS).

INVENTOR(S): Strobel, Hartmut; Wohlfart, Paulus; Below, Peter

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: Eur. Pat. Appl., 40 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	PATENT NO.				KIND DATE			APPLICATION NO.				DATE					
EP	EP 1388341			A1 20040211			EP 2002-17585					20020807					
											, IT,						
											, TR,					•	
CA	2494298			A1 20040219			CA 2003-2494298						20030724				
WO	2004014369			A1 20040219			WO 2003-EP8102						20030724				
	W:	ΑE,	AG,	AL,	AM,	AT,	ΑU,	ΑZ,	BA,	BB	, BG,	BR,	BY,	BZ,	CA,	CH,	CN,
		CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	, EE,	ES,	FI,	GB,	GD,	GE,	GH,
		GM,	HR,	HU,	ID,	IL,	IN,	IS,	JP,	KE,	, KG,	KP,	KR,	KΖ,	LC,	LK,	LR,
		LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN	, MW,	MX,	MZ,	NΙ,	NO,	NΖ,	OM,
		PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE	, SG,	SK,	SL,	SY,	ΤJ,	TM,	TN,
											, ZA,						
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	2003260325																
							EP 2003-784054				20030724						
EP	1534																
	R:				•		•				, IT,				•	•	PT,
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	2003										2003-1						
JP	2005538123			T 20051215			JP 2004-526764					20030724					
	AT 388702						AT 2003-784054										
US	2004									US :	2003-	6349	79		2	0030	805
US	US 7338956			B2 20080304													
XM	2005	0006	97		Α		2005	0408			2005-					0050	117
PRIORITY	Z APP	LN.	INFO	.:						EP :	2002-	1758	5	i	A 2	0020	807

OTHER SOURCE(S): MARPAT 140:163868

GΙ

Title compds. [I; R1, R4 = H, (substituted) alkyl, alkenyl, alkynyl, Ph, heteroaryl; R2, R3 = H, OH, halo, cyano, alkoxy, PhO, (substituted) alkyl, PhCONH, etc.; R5 = (substituted) aryl, heteroaryl; X = NR30, S, O, CH:CH, N:CH; R30 = H, (substituted) alkyl, alkenyl, alkynyl], were prepared Thus, title compound I (R1-R4 = H; R5 = 4-FC6H4; X = NH) (preparation outlined) activated eNOS transcription with EC50 = 0.028  $\mu$ M.

(preparation of acylaminoheteroarenes as upregulators of endothelial nitric oxide synthase)

RN 656250-85-0 CAPLUS

CN Benzamide, 4-fluoro-N-[1-[2-(2-pyridinyl)ethyl]-5-(trifluoromethyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 12 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:363331 CAPLUS

DOCUMENT NUMBER: 137:93712

TITLE: Rapid Microwave-Assisted Liquid-Phase Combinatorial

Synthesis of 2-(Arylamino)benzimidazoles

AUTHOR(S): Bendale, Pravin M.; Sun, Chung-Ming

CORPORATE SOURCE: Department of Chemistry, National Dong Hwa University,

Hualien, 974, Taiwan

SOURCE: Journal of Combinatorial Chemistry (2002), 4(4),

359-361

CODEN: JCCHFF; ISSN: 1520-4766

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:93712

AB An efficient, facile, and practical liquid-phase combinatorial synthesis of

benzimidazoles under microwave irradiation is described. In the first step, polymer-bound activated aryl fluoride was condensed with selective primary amines via an ipso-fluoro displacement reaction. Reduction of the polymer-bound nitro group followed by cyclization with isothiocyanates afforded immobilized benzimidazoles. The desired products were obtained in high yield with high purity after detaching from the soluble matrix. All reactions involved (SNAr reaction, reduction, cyclization, and support cleavage) were performed completely within a few minutes under microwave irradiation. The coupling of microwave technol, with liquid-phase combinatorial synthesis constitutes a novel and particularly attractive avenue for the rapid generation of structurally diverse libraries.

IT 442129-64-8P 442129-68-2P

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(rapid microwave-assisted liquid-phase combinatorial synthesis of 2-(arylamino)benzimidazoles)

RN 442129-64-8 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid,

2-(phenylamino)-1-[2-(2-pyridinyl)ethyl]-, methyl ester (CA INDEX NAME)

RN 442129-68-2 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[(4-fluorophenyl)amino]-1-[2-(2-pyridinyl)ethyl]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} O & & & F \\ \hline \\ MeO-C & & N & NH \\ \hline \\ N-CH_2-CH_2 & & N \\ \hline \\ N & & N \end{array}$$

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 13 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2002:97991 CAPLUS

DOCUMENT NUMBER: 137:93711

TITLE: Soluble polymer-supported synthesis of

2-(arylamino)benzimidazoles

AUTHOR(S): Wu, Cheng-Yi; Sun, Chung-Ming

CORPORATE SOURCE: Department of Chemistry, National Dong Hwa University,

Shou-Feng, Hualien, 974, Taiwan

SOURCE: Tetrahedron Letters (2002), 43(8), 1529-1533

CODEN: TELEAY; ISSN: 0040-4039

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal LANGUAGE: English

OTHER SOURCE(S): CASREACT 137:93711

AB A novel liquid-phase method for the construction of biol. important benzimidazoles has been developed. A library of N-substituted 2-aminobenzimidazoles was readily assembled utilizing SNAr reactions, reduction of the nitro group, and a one-pot cyclization with isothiocyanate as the key step in the synthesis. The crude benzimidazoles were obtained in 80-99% yields with 78-95% HPLC purity.

IT 442129-64-8P 442129-68-2P 442129-73-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(soluble polymer-supported synthesis of (arylamino) benzimidazoles)

RN 442129-64-8 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid,

2-(phenylamino)-1-[2-(2-pyridinyl)ethyl]-, methyl ester (CA INDEX NAME)

RN 442129-68-2 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[(4-fluorophenyl)amino]-1-[2-(2-pyridinyl)ethyl]-, methyl ester (CA INDEX NAME)

$$\begin{array}{c|c} \mathsf{MeO-C} & \mathsf{N} & \mathsf{F} \\ \hline \\ \mathsf{N} & \mathsf{NH} & \mathsf{CH}_2 - \mathsf{CH}_2 \\ \hline \\ \mathsf{N} & \mathsf{N} \end{array}$$

RN 442129-73-9 CAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 2-[(3-methylphenyl)amino]-1-[2-(2-pyridinyl)ethyl]-, methyl ester (CA INDEX NAME)

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 14 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:12444 CAPLUS

DOCUMENT NUMBER: 134:86248

TITLE: Preparation of benzimidazoles as respiratory syncytial

virus replication inhibitors.

INVENTOR(S): Janssens, Frans Eduard; Meersman, Kathleen Petrus

Marie-Jose; Sommen, Francois Maria; Guillemont, Jerome Emile Georges; Lacrampe, Jean Fernand Armand; Andries,

Koenraad Jozef Lodewijk Marcel

PATENT ASSIGNEE(S): Janssen Pharmaceutica N.V., Belg.

SOURCE: PCT Int. Appl., 119 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
CU, CZ, ID, IL,	A1 AL, AM, A DE, DK, D IN, IS, J MD, MG, M	AT, AU, AZ, DM, DZ, EE, JP, KE, KG, MK, MN, MW,	WO 2000-EP5676 BA, BB, BG, BR, BY, ES, FI, GB, GD, GE, KP, KR, KZ, LC, LK, MX, MZ, NO, NZ, PL, TR, TT, TZ, UA, UG,	GH, GM, HR, HU, LR, LS, LT, LU, PT, RO, RU, SD,		
RW: GH, GM, DE, DK, CF, CG, CA 2376781	ES, FI, F CI, CM, G	FR, GB, GR,	SL, SZ, TZ, UG, ZW, IE, IT, LU, MC, NL, ML, MR, NE, SN, TD, CA 2000-2376781	PT, SE, BF, BJ,		
BR 2000012054 EP 1196408 EP 1196408	A A1 B1	20020319 20020417 20040915	BR 2000-12054 EP 2000-943841	20000620 20000620		
IE, SI, TR 200103804 HU 2002001723	LT, LV, F T2 A2	FI, RO 20020521 20021128	GB, GR, IT, LI, LU,  TR 2001-3804  HU 2002-1723	20000620		
JP 2003503401 EE 200100692 EE 4590 NZ 515418	T A B1 A	20040428 20030128 20030217 20060215 20031128	JP 2001-507020 EE 2001-692 NZ 2000-515418	20000620 20000620 20000620		
R: AT, BE,	Al	20040512 OK, ES, FR,	EP 2004-100543 GB, GR, IT, LI, LU, AT 2000-943841	20000620		
AU 779516 PT 1196408 ES 2228559	B2 T	20050127 20050131 20050416 20060201 20060228	AU 2000-58167 PT 2000-943841 ES 2000-943841	20000620 20000620 20000620 20000620 20000620		
W: GM, GH, SG 122814 TR 200600172 PL 198021	KE, LS, M A1 T1 B1 B		SD, SZ, TZ, UG, ZM, SG 2004-362 TR 2006-172 PL 2000-362890 TW 2000-89112477 EG 2000-833 IN 2001-MN1539 MX 2002-112 HR 2001-933 ZA 2001-10478 NO 2001-6368  US 2001-30202 BG 2002-106287	ZW 20000620 20000620 20000620		

BG	65372	В1	20080430				
HK	1046141	A1	20060922	HK	2002-107761		20021025
US	20050234047	A1	20051020	US	2005-144103		20050603
US	7173054	B2	20070206				
US	20050239771	A1	20051027	US	2005-144126		20050603
US	7173034	B2	20070206				
US	20060154913	A1	20060713	US	2006-332557		20060112
US	7361657	B2	20080422				
	20070021410	A1	20070125	US	2006-519719		20060911
US	7390811	В2	20080624				
PRIORITY	APPLN. INFO.:			EΡ	1999-202087	Α	19990628
				EP	2000-200452	Α	20000211
				EΡ	2000-943841	АЗ	20000620
				WO	2000-EP5676	W	20000620
				US	2001-30202	А3	20011227
				US	2005-144103	А3	20050603

MARPAT 134:86248 OTHER SOURCE(S):

GΙ

AΒ Use of title compds. [I; a1:a2a3:a4 = (substituted) CH:CHCH:CH, N:CHCH:CH, CH:N:CH:CH, CH:CHN:CH, CH:CHCH:N; Q = R2R4NAX1, R2R4NCOAX1, specified (heterocyclic) ring, etc.; A = alkylene; R2 = H, CHO, alkylcarbonyl, pyrrolidinyl, piperidinyl, homopiperidinyl, aminocycloalkyl, etc.; R4 = H, alkyl, aralkyl; G = bond, alkanediyl; R1 = (substituted) piperidinyl, piperazinyl, pyridyl, pyrazinyl, pyridazinyl, pyrrolyl, furyl, thienyl, oxazolyl, thiazolyl, imidazolyl, pyrazolyl, etc.] for treatment of viral infection is claimed. Thus, 1,1-dimethylethyl 4-[[1-[[3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dihyc]pyridin-2-yl]methyl]-1H-benzimidazol-2-yl]amino]-1-piperidinecarboxylate was refluxed 6 h in 10N HCl to give 4-[[1-[[3,5-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dimethyl-9-(phenylmethoxy)-1H-1,3-dioxepino[5,6-dihydro-3,3-dihyc]pyridin-2-yl]methyl]-1H-benzimidazol-2-yl]amino]piperidine. Tested I inhibited respiratory syncytial virus replication with IC50 = $0.00013-2.5119 \mu M.$ 

1100824-97-2 1100824-98-3 1100824-99-4 ΤT

1100825-01-1 1100825-48-6

RL: PRPH (Prophetic)

(Preparation of benzimidazoles as respiratory syncytial virus replication inhibitors.)

1100824-97-2 CAPLUS RN

CN 1,3-Propanediamine, N1-[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2vl]-, hydrochloride (1:5) (CA INDEX NAME)

# ●5 HC1

RN 1100824-98-3 CAPLUS CN INDEX NAME NOT YET ASSIGNED

# ●4 HCl

● H2O

RN 1100824-99-4 CAPLUS CN INDEX NAME NOT YET ASSIGNED

● 4 HCl

● H2O

RN 1100825-01-1 CAPLUS

CN 1,3-Propanediamine, N1-[1-[(6-methyl-2-pyridinyl)methyl]-1H-benzimidazol-2-yl]-2-(phenylmethoxy)-, hydrochloride, hydrate (1:3:1) (CA INDEX NAME)

●3 HCl

● H2O

RN 1100825-48-6 CAPLUS CN INDEX NAME NOT YET ASSIGNED

IT 317846-15-4P 317846-16-5P 317846-37-0P

317846-94-9P 317846-95-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317846-15-4 CAPLUS

CN Acetamide, N-[2-[[cis-4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]cyclohexyl]amino]ethyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 317846-16-5 CAPLUS

CN Acetamide, N-[2-[[trans-4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]cyclohexyl]amino]ethyl]- (CA INDEX NAME)

Relative stereochemistry.

RN 317846-37-0 CAPLUS

CN 3-Pyridinol, 2-[[2-[(3-amino-2-hydroxypropyl)amino]-1H-benzimidazol-1-

yl]methyl]-6-methyl- (CA INDEX NAME)

RN 317846-94-9 CAPLUS

CN 1,4-Cyclohexanediamine, N1-(2-aminoethyl)-N4-[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]-, hydrochloride (1:4), trans- (CA INDEX NAME)

Relative stereochemistry.

### ● 4 HCl

RN 317846-95-0 CAPLUS

CN 1H-Benzimidazol-2-amine, N-[[1-(2-aminoethyl)-3-piperidinyl]methyl]-1-(2-pyridinylmethyl)-, hydrochloride (1:4) (CA INDEX NAME)

### ● 4 HCl

IT 317847-82-8

RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317847-82-8 CAPLUS

CN 3-Pyridinol, 2-[[2-[[3-[bis(phenylmethyl)amino]-2-(phenylmethoxy)propyl]amino]-1H-benzimidazol-1-yl]methyl]-6-methyl- (CA INDEX NAME)

IT 317847-49-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of benzimidazoles as respiratory syncytial virus replication inhibitors)

RN 317847-49-7 CAPLUS

CN Cyclohexanone, 4-[[1-(2-pyridinylmethyl)-1H-benzimidazol-2-yl]amino]- (CA INDEX NAME)

REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 15 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:752271 CAPLUS

DOCUMENT NUMBER: 123:339888

ORIGINAL REFERENCE NO.: 123:61003a,61006a

TITLE: N-(1-Phenyl-2-benzimidazolyl)-N'-phenylurea

derivatives as potent inhibitors of

acyl-CoA:cholesterol acyltransferase (ACAT)

AUTHOR(S): Kumazawa, Toshiaki; Harakawa, Hiroyuki; Fukui, Hiromi;

Shirakura, Shiro; Ohishi, Eiko; Yamada, Koji

CORPORATE SOURCE: Pharm. Res. Lab., Kyowa Hakko Kogyo Co., Ltd.,

Shizuoka, 411, Japan

SOURCE: Bioorganic & Medicinal Chemistry Letters (1995),

Ι

5(16), 1829-32

CODEN: BMCLE8; ISSN: 0960-894X

PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

GΙ

AB N-(1-phenyl-2-benzimidazolyl)-N'-phenylurea derivs., e.g., I, were prepared as ACAT inhibitors. These compds. showed potent ACAT inhibitory activity in vitro (liver microsomes from cholesterol-fed rabbits) and hypocholesterolemic activity in vivo (cholesterol-fed golden hamsters).

IT 170752-07-5P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of (phenylbenzimidazolyl)phenylureas as potent inhibitors of ACAT)

RN 170752-07-5 CAPLUS

CN Urea, N-[2,6-bis(1-methylethyl)phenyl]-N'-[1-(3-chloro-2-pyridinyl)-1H-benzimidazol-2-yl]-, methanesulfonate (1:1) (CA INDEX NAME)

CM 1

CRN 170752-06-4 CMF C25 H26 C1 N5 O

CM 2

CRN 75-75-2 CMF C H4 O3 S

L6 ANSWER 16 OF 16 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1995:709095 CAPLUS

DOCUMENT NUMBER: 123:218414

ORIGINAL REFERENCE NO.: 123:38595a,38598a

TITLE: Imidazoles and antiarteriosclerotics containing the

imidazoles

INVENTOR(S): Kumazawa, Toshiaki; Harakawa, Hiroyuki; Fukui, Hiromi;

Shirokura, Shiro; Ooishi, Eiko; Yamada, Koji

PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Kk, Japan SOURCE: Jpn. Kokai Tokkyo Koho, 13 pp.

CODEN: JKXXAF

DOCUMENT TYPE: Patent LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

#### PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07133224	A	19950523	JP 1993-280961	19931110
PRIORITY APPLN. INFO.:			JP 1993-280961	19931110
OTHER SOURCE(S):	MARPAT	123:218414		
GI				

AB Antiarteriosclerotics contain imidazoles I [X, Y = CH, N; Z = single bond, CH2; R1, R2, R3 = H, halo, lower alkyl, OH, lower alkoxy, carboxy, lower alkoxycarbonyl, NH2, mono- or di-lower alkyl-substituted amino, carbamoyl, mono- or di-lower alkyl-substituted carbamoyl, CF3; R4, R5, R6 = H, halo, lower alkyl, lower alkyl, lower alkyl, lower alkyl-(un)substituted cycloalkyl] or their pharmacol. acceptable salts as active ingredients. N-[1-(2-chlorophenyl)-2-benzimidazolyl]-N'-(2,6-diisopropylphenyl)urea (II) (2.3 g) was prepared by treatment of 1.5 g 2-amino-1-(2-chlorophenyl)benzimidazole and 1.46 mL 2,6-diisopropylphenyl isocyanate. II (at 10-7M) inhibited acyl CoA:cholesterol acyltransferase by 85%. II showed min. LD of >100 mg/kg i.p. in mice. A formulation example of tablets is given.

Ι

IT 168120-34-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(antiarteriosclerotics containing imidazoles)

RN 168120-34-1 CAPLUS

CN Urea, N-[2,6-bis(1-methylethyl)phenyl]-N'-[1-(4-methyl-2-pyridinyl)-1H-benzimidazol-2-yl]- (CA INDEX NAME)

ENTRY SESSION 94.48 281.54 FULL ESTIMATED COST SINCE FILE TOTAL ENTRY SESSION DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) CA SUBSCRIBER PRICE -13.12 -13.12

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FILE CONTAINS CURRENT INFORMATION. LAST RELOADED: Feb 6, 2009 (20090206/UP).

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ALL L# QUERIES AND ANSWER SETS ARE DELETED AT LOGOFF

LOGOFF? (Y)/N/HOLD:y

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION 2.03 283.57

FULL ESTIMATED COST

TOTAL DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE

ENTRY SESSION -13.12 CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 09:29:18 ON 12 FEB 2009